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\* \*  
\*\*\*\*\*

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<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> fil hcap  
FILE 'HCAPLUS' ENTERED AT 18:37:00 ON 06 JUL 2005  
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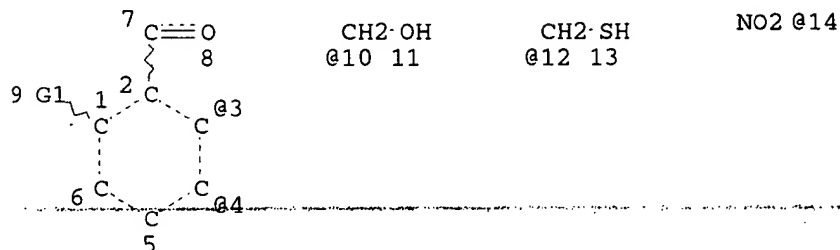
FILE COVERS 1907 - 6 Jul 2005 VOL 143 ISS 2  
FILE LAST UPDATED: 5 Jul 2005 (20050705/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que l11

L7 STR



VAR G1=OH/SH/10/12

VPA 14-3/4 U

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 7

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L9 157 SEA FILE=REGISTRY SSS FUL L7

L10 42875 SEA FILE=HCAPLUS ABB=ON PLU=ON PEPTIDES+PFT,NT/CT(L) PREP+NT/R  
L

L11 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND L10

=> d l11 ibib abs hitind hitstr 1-12

L11 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:644226 HCAPLUS

DOCUMENT NUMBER: 139:307988

TITLE: Direct peptide coupling of novel amino acid derivatives produced by rearrangement of catalytically generated ammonium ylides

AUTHOR(S): Clark, J. Stephen; Middleton, Mark D.

CORPORATE SOURCE: University of Nottingham, School of Chemistry, University Park, Nottingham, NG7 2RD, UK

SOURCE: Tetrahedron Letters (2003), 44(37), 7031-7034

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:307988

AB Protected amino acids can be prepared from substrates in which a diazo ester is aryl-tethered to an allylic amine, by catalytic intramolecular ammonium ylide generation and [2,3] rearrangement. When the aryl tether is sufficiently electron-deficient, direct coupling of the rearrangement product with a hindered amino acid ester to give a dipeptide is possible, and ammonium ylide generation, rearrangement and peptide coupling can be accomplished in a one-pot fashion.

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 27

IT Dipeptides

RL: ~~SPN (Synthetic preparation); PREP (Preparation)~~

(one-pot dipeptide synthesis by coupling of amino acid esters with amino acid azolactone derivs. produced by rearrangement of catalytically generated ammonium ylides and lactonization)

IT 68-12-2, Dimethylformamide, reactions 97-51-8 100-46-9, Benzylamine, reactions 106-95-6, Allyl bromide, reactions 496-69-5, 2-Bromo-4-fluorophenol 2491-20-5, Alanine methyl ester hydrochloride 4070-48-8 6306-52-1, Valine methyl ester hydrochloride 13515-97-4, Glycine methyl ester hydrochloride 57072-87-4, Ethyl diazomalonyl chloride 147666-20-4, Succinimidyl diazoacetate

RL: RCT (Reactant); RACT (Reactant or reagent)

(one-pot dipeptide synthesis by coupling of amino acid esters with amino acid azolactone derivs. produced by rearrangement of catalytically generated ammonium ylides and lactonization)

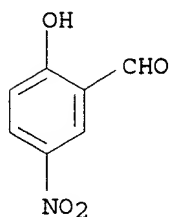
IT 97-51-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(one-pot dipeptide synthesis by coupling of amino acid esters with amino acid azolactone derivs. produced by rearrangement of catalytically generated ammonium ylides and lactonization)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:501665 HCAPLUS

DOCUMENT NUMBER: 139:197756

TITLE: Difficult Macrocyclizations: New Strategies for Synthesizing Highly Strained Cyclic Tetrapeptides

AUTHOR(S): Meutermans, Wim D. F.; Bourne, Gregory T.; Golding, Simon W.; Horton, Douglas A.; Campitelli, Marc R.; Craik, David; Scanlon, Martin; Smythe, Mark L.

CORPORATE SOURCE: Institute for Molecular Bioscience, University of Queensland, St. Lucia, 4072, Australia

SOURCE: Organic Letters (2003), 5(15), 2711-2714

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:197756

AB To synthesize highly strained cyclic tetrapeptides, the authors developed a macrocyclization strategy that involves the inclusion of 2-hydroxy-6-nitrobenzyl (HnB) as an N-protective group at the N-terminus

and in the "middle" of the sequence. The N-terminal auxiliary performs a ring closure/ring contraction role, and the backbone auxiliary promotes cis amide bonds to facilitate the otherwise difficult ring contraction. Following this route, the all-L cyclo[Tyr-Arg-Phe-Ala] was successfully prepared

CC 34-3 (Amino Acids, Peptides, and Proteins)

IT **Peptides, preparation**

RL: **SPN (Synthetic preparation); PREP (Preparation)**  
(cyclic; preparation of highly strained cyclic tetrapeptides via cyclizations of linear peptides containing N-protecting hydroxynitrobenzyl groups)

IT **16855-08-6, 2-Hydroxy-6-nitrobenzaldehyde**

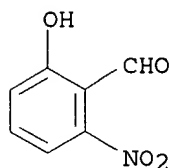
RL: **RCT (Reactant); RACT (Reactant or reagent)**  
(preparation of highly strained cyclic tetrapeptides via cyclizations of linear peptides containing N-protecting hydroxynitrobenzyl groups)

IT **16855-08-6, 2-Hydroxy-6-nitrobenzaldehyde**

RL: **RCT (Reactant); RACT (Reactant or reagent)**  
(preparation of highly strained cyclic tetrapeptides via cyclizations of linear peptides containing N-protecting hydroxynitrobenzyl groups)

RN 16855-08-6 HCAPLUS

CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:236013 HCAPLUS

DOCUMENT NUMBER: 139:101401

TITLE: Chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester

AUTHOR(S): Ishiwata, Akihiro; Ichiyanagi, Tsuyoshi; Takatani, Maki; Ito, Yukishige

CORPORATE SOURCE: RIKEN (The Institute of Physical and Chemical Research), Wako-shi, Saitama, 351-0198, Japan

SOURCE: Tetrahedron Letters (2003), 44(15), 3187-3190  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:101401

AB A novel method for peptide bond formation utilizing amino acid 2-formyl-4-nitrophenylthio ester has been developed. The reaction can be performed in water-containing media and is compatible with various types of amino acid side-chain functional groups. Use of N-methylmaleinimide as an additive is essential for the reaction to proceed with high efficiency. It captures liberated formyl-substituted thiophenol through 1,4-addition followed by aldol cyclization.

CC 34-3 (Amino Acids, Peptides, and Proteins)

IT **Peptides, preparation**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oligopeptides; preparation of oligopeptides via chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester)

IT Glycopeptides

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of oligopeptides via chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester)

IT 23081-05-2P 288144-40-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oligopeptides via chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester)

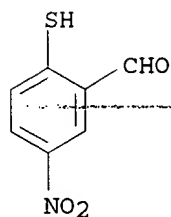
IT 23081-05-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oligopeptides via chemoselective peptide bond formation using formyl-substituted nitrophenylthio ester)

RN 23081-05-2 HCAPLUS

CN Benzaldehyde, 2-mercapto-5-nitro-, sodium salt (8CI, 9CI) (CA INDEX NAME)



● Na

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:46815 HCAPLUS

DOCUMENT NUMBER: 137:185770

TITLE: 2-Hydroxy-6-nitrobenzaldehyde (Hnb): a generic acyl transfer auxiliary for peptide synthesis

AUTHOR(S): Miranda, Les; Meutermans, W.; Smythe, M.; Alewood, P. F.

CORPORATE SOURCE: Department of Chemistry, Carlsberg Laboratory, Copenhagen, Den.

SOURCE: Innovation and Perspectives in Solid Phase Synthesis & Combinatorial Libraries: Peptides, Proteins and Nucleic Acids--Small Molecule Organic Chemistry Diversity, Collected Papers, International Symposium, 6th, York, United Kingdom, Aug. 31-Sept. 4, 1999 (2001), Meeting Date 1999, 47-50. Editor(s): Epton, Roger. Mayflower Scientific Ltd.: Kingswinford, UK. CODEN: 69CEGV; ISBN: 0-9515735-3-5

DOCUMENT TYPE: Conference; General Review

LANGUAGE: English

AB A review with refs. A novel acyl transfer auxiliary, 2-hydroxy-6-nitrobenzaldehyde (Hnb), is used to facilitate efficient O-N acyl transfer, especially with  $\beta$ -branched amino acids during peptide synthesis. Incorporation of the N $\alpha$ -Hnb auxiliary is achieved by reductive amination during solid-phase peptide synthesis, and it is subsequently removed by mild photolysis. The Hnb auxiliary is expected to enhance the synthesis of "difficult" peptide sequences, and it may also prove to be useful for peptide cyclization, ligation, solubilization or amide-protection in solid-phase organic synthesis.

CC 34-0 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 25

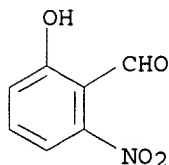
IT **Peptides, preparation**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(using hydroxynitrobenzaldehyde as an acyl transfer auxiliary for solid-phase synthesis of "difficult" peptide sequences)

IT 16855-08-6, 2-Hydroxy-6-nitrobenzaldehyde  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(using hydroxynitrobenzaldehyde as an acyl transfer auxiliary for solid-phase synthesis of "difficult" peptide sequences)

IT 16855-08-6, 2-Hydroxy-6-nitrobenzaldehyde  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(using hydroxynitrobenzaldehyde as an acyl transfer auxiliary for solid-phase synthesis of "difficult" peptide sequences)

RN 16855-08-6 HCAPLUS

CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:556511 HCAPLUS

DOCUMENT NUMBER: 133:267142

TITLE: An Activated O  $\rightarrow$  N Acyl Transfer Auxiliary:  
Efficient Amide-Backbone Substitution of Hindered  
"Difficult" Peptides

AUTHOR(S): Miranda, Les P.; Meutermans, Wim D. F.; Smythe, Mark  
L.; Alewood, Paul F.

CORPORATE SOURCE: Centre for Drug Design and Development, The University  
Of Queensland, Brisbane, 4072, Australia

SOURCE: Journal of Organic Chemistry (2000), 65(18), 5460-5468  
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:267142

AB Overcoming the phenomenon known as "difficult" synthetic sequences has been a major goal in solid-phase peptide synthesis for over 30 yr. In this work the advantages of amide backbone-substitution in the solid-phase synthesis of "difficult" peptides are augmented by developing an activated

$\alpha$ -acyl transfer auxiliary. Apart from disrupting troublesome intermol. hydrogen-bonding networks, the primary function of the activated  $\alpha$ -auxiliary was to facilitate clean and efficient acyl capture of large or  $\beta$ -branched amino acids and improve acyl transfer yields to the secondary  $\alpha$ -amine. We found o-hydroxyl-substituted nitrobenzyl (Hnb) groups were suitable  $\alpha$ -auxiliaries for this purpose. The relative acyl transfer efficiency of the Hnb auxiliary was superior to the 2-hydroxy-4-methoxybenzyl (Hmb) auxiliary with protected amino acids of varying size. Significantly, this difference in efficiency was more pronounced between more sterically demanding amino acids. The Hnb auxiliary is readily incorporated at the  $\alpha$ -amine during SPSS by reductive alkylation of its corresponding benzaldehyde derivative and conveniently removed by mild photolysis at 366 nm. The usefulness of the Hnb auxiliary for the improvement of coupling efficiencies in the chain-assembly of difficult peptides was demonstrated by the efficient Hnb-assisted Fmoc solid-phase synthesis of a known hindered difficult peptide sequence, STAT-91. This work suggests the Hnb auxiliary will significantly enhance our ability to synthesize difficult polypeptides and increases the applicability of amide-backbone substitution.

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 6

IT Amino acids, preparation

**Peptides, preparation**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as  $\alpha$ -auxiliary)

IT 97-51-8, 2-Hydroxy-5-nitrobenzaldehyde 147-93-3, Thiosalicylic acid 554-84-7 673-22-3, 2-Hydroxy-4-methoxybenzaldehyde 6361-21-3, 2-Chloro-5-nitrobenzaldehyde 29022-11-5, Fmoc-gly-oh 35661-39-3 35661-40-6 35661-60-0 68858-20-8 105708-55-2D, resin-bound 298679-85-3D, resin-bound 298679-86-4 298679-87-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as  $\alpha$ -auxiliary)

IT 16855-08-6P 29199-11-9P, 2-Mercaptobenzaldehyde 55969-94-3P, 2-Mercapto-5-nitrobenzaldehyde 263144-06-5DP, resin-bound 263144-07-6DP, resin-bound 298679-81-9DP, resin-bound 298679-88-6DP, resin-bound 298679-89-7DP, resin-bound 298679-90-0DP, resin-bound 298679-94-4DP, resin-bound 298679-95-5DP, resin-bound 298679-96-6DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as  $\alpha$ -auxiliary)

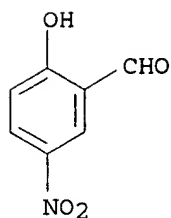
IT 97-51-8, 2-Hydroxy-5-nitrobenzaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

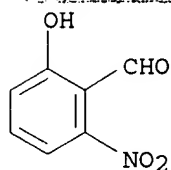
(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl group as  $\alpha$ -auxiliary)

RN 97-51-8 HCAPLUS

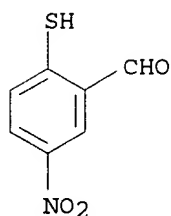
CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



IT 16855-08-6P 55969-94-3P, 2-Mercapto-5-nitrobenzaldehyde  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn of hindered peptides using 2-hydroxyl-substituted nitrobenzyl  
group as N $\alpha$ -auxiliary)  
RN 16855-08-6 HCAPLUS  
CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)



RN 55969-94-3 HCAPLUS  
CN Benzaldehyde, 2-mercapto-5-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2000:227674 HCAPLUS  
DOCUMENT NUMBER: 132:265505  
TITLE: Solid phase synthesis of small cyclic peptides via  
on-resin cyclization  
INVENTOR(S): Smythe, Mark Leslie; Meutermans, Wim Denise Frans  
PATENT ASSIGNEE(S): The University of Queensland, Australia  
SOURCE: PCT Int. Appl., 84 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:



PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018789	A1	20000406	WO 1999-AU812	19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345067	AA	20000406	CA 1999-2345067	19990924
AU 9963196	A1	20000417	AU 1999-63196	19990924
AU 768649	B2	20031218		
EP 1115739	A1	20010718	EP 1999-950390	19990924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525376	T2	20020813	JP 2000-572247	19990924
PRIORITY APPLN. INFO.:			AU 1998-6165	A 19980925
			WO 1999-AU812	W 19990924

OTHER SOURCE(S): CASREACT 132:265505; MARPAT 132:265505

AB This invention relates to novel auxiliaries for the formation of amide bonds, and to the use of these auxiliaries in a variety of synthetic applications, such as the synthesis of peptides and peptidomimetic compds., and in particular for the synthesis of "small cyclic peptides", so-called "difficult" peptide sequences, and large peptides with a native peptide backbone. The auxiliaries of the invention are also useful in the synthesis of peptides or of C-terminal modified peptides, and in on-resin cyclization of organic mols., ligating chemical, backbone substitution and as ~~backbone linkers. In a particularly preferred embodiment, the invention~~ provides auxiliaries which can be removed by photolysis. Methods of synthesis of a linear or cyclic peptide, a C-terminal modified peptide, or of on-resin cyclization of a peptide mol., comprising the step of linking an amine nitrogen atom to an auxiliary compound of the invention, specific auxiliary compds., which may optionally be linked to a solid support, and kits for synthesis are disclosed and claimed. Thus, cyclo-[Ala-Phe-Leu-Pro-Ala] was prepared via on-resin cyclization reaction.

IC ICM C07K001-02

ICS C07K001-04; C07K001-107

CC 34-3 (Amino Acids, Peptides, and Proteins)

IT **Peptides, preparation**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(cyclic; solid phase synthesis of small cyclic peptides via on-resin cyclization)

IT 97-51-8 1694-92-4 16855-08-6 23081-03-0

35661-39-3D, trityl resin bound 89040-08-4 252667-07-5D, resin bound

252667-11-1 263144-02-1 263144-04-3D, resin bound 263144-05-4D,

resin bound 263144-25-8 263144-26-9 263144-27-0 263144-28-1

~~263144-29-2 263144-30-5 263144-31-6 263144-33-8 263144-34-9~~

263144-35-0 263144-36-1 263144-37-2 263144-38-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(solid phase synthesis of small cyclic peptides via on-resin cyclization)

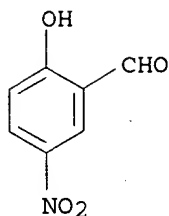
IT 97-51-8 16855-08-6

RL: RCT (Reactant); RACT (Reactant or reagent)

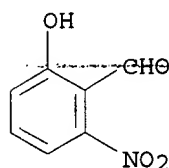
(solid phase synthesis of small cyclic peptides via on-resin

cyclization)

RN 97-51-8 HCAPLUS  
CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



RN 16855-08-6 HCAPLUS  
CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:313502 HCAPLUS

DOCUMENT NUMBER: 124:344131

TITLE: Preparation of high affinity chelates containing isothiocyanate groups, useful or coupling with peptides and proteins

INVENTOR(S): Flanagan, Richard J.; Duforur, Jean-Marc; Hogan, Keith T.; Charleson, F. Peter

PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.

SOURCE: Can. Pat. Appl., 28 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent

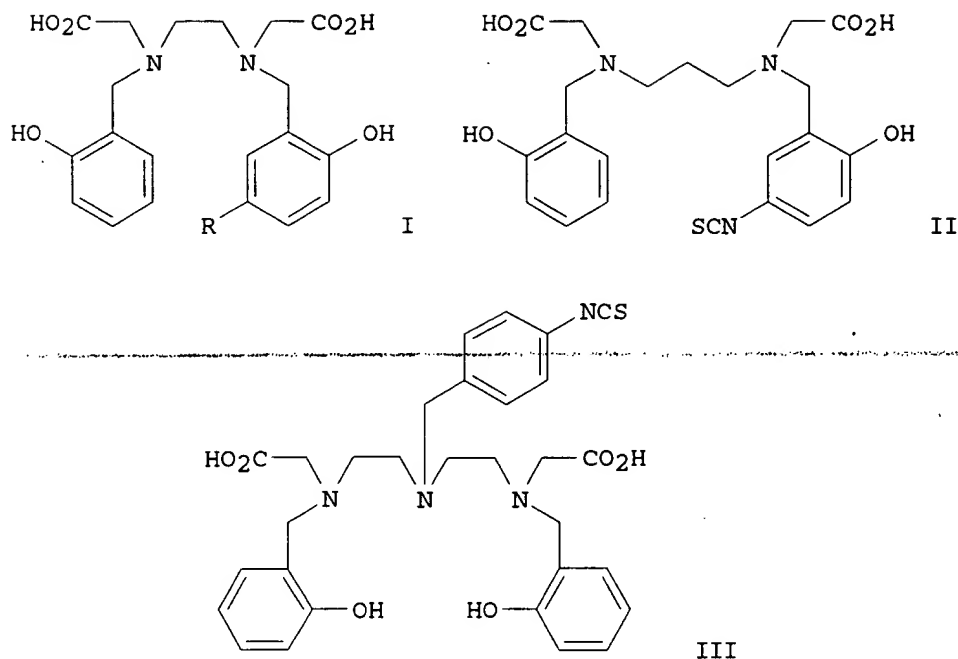
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2154214	AA	19960129	CA 1995-2154214	19950719
US 5539138	A	19960723	US 1994-281905	19940728
PRIORITY APPLN. INFO.:			US 1994-281905	A 19940728

GI



AB The ligands HBED-SCN (I; R = isothiocyanato, HBED = hydroxybenzylethylenediamine-diacetic acid), HBPd-SCN (II; HBPd = hydroxybenzylpropylenediamine-diacetic acid), and HTDD-SCN (III; HTDD = hydroxybenzyl-ethylenetriamine-diacetic acid), which have higher affinity and allow simple and stoichiometric coupling with peptides or proteins, e.g. at pH 8.5 in aqueous solution, and are suitable for chelating with radiopharmaceutical metallic isotopes, especially  $^{111}\text{In}$  and  $^{67}\text{Ga}$ , in imaging and

treating tumors (no data), are prepared. Thus, 3.06 g N-acetylenediamine in benzene and MeOH was added slowly to a solution of 3.2 mL salicylaldehyde in benzene and the resulting mixture was refluxed for 48 h with removal of water by a Dean-Stark apparatus to give 6.11 g N-(2-hydroxybenzylidene)-N'-acetylenediamine, which (3.98 g) was dissolved in EtOH, treated with NaBH<sub>4</sub>, and stirred at room temperature for 17 h to give 4.4 g N-(2-hydroxybenzyl)-N'-acetylenediamine. This compound was refluxed in 6 N aqueous HCl for 24 h to give N-(2-hydroxybenzyl)ethylenediamine, which (1.66 g) was similarly condensed with 1.67 g 5-nitrosalicylaldehyde in refluxing benzene containing a few drops of MeOH to give 2.30 g of the Schiff base N-(2-hydroxy-3-nitrobenzylidene)-N'-(2-hydroxybenzyl)ethylenediamine, which (2.30 g) was similarly reduced by NaBH<sub>4</sub> in EtOH to give 2.15 g N-(2-hydroxy-5-nitrobenzyl)-N'-(2-hydroxybenzyl)ethylenediamine. The latter compound (360 mg), 10 mL H<sub>2</sub>O, and 340 mg α-bromoacetic acid were treated with 2 mL 5.4 N aqueous NaOH and stirred at room temperature for 18 h to give 341 g I (R = NO<sub>2</sub>), which (233 g) was dissolved in MeOH and hydrogenated in the presence of 5% Pd-C at hydrogen pressure 47 psi for 195 min, and filtered to give a solution of the amine I (R = NH<sub>2</sub>). The above solution was treated with a 0.21 M solution of SOCl<sub>2</sub> in CH<sub>2</sub>Cl<sub>2</sub> (2.43 L) and stirred for 1 h to give the title compound I (R = isothiocyanato), which (12.1 mg) was stirred with atrial natriuretic peptide (PANP101-126) in bicarbonate/phosphate buffer (0.2 M, pH 8.5, 400 μL) and 500 μL DMSO to give, after HPLC purification, a HBED-ANP conjugate. The latter conjugate (5 μg in 5 μL Millex water), a

solution of 1  $\mu$ L  $^{111}\text{InCl}_3$  in 10  $\mu$ L Millex water, and citrate buffer (20  $\mu$ L, pH 7.6) were incubated for 30 min to give, after chromatog. purification using a PRP-1 solid phase extractor,  $^{111}\text{In}$ -HBED-ANP complex.

IC ICM C07C331-28

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 9

IT **Peptides, preparation**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **SPN (Synthetic preparation)**; THU

(Therapeutic use); BIOL (Biological study); **PREP (Preparation)**;

USES (Uses)

(preparation of high affinity chelates containing isothiocyanate groups, atrial

natriuretic peptide-chelate complexes, and its  $^{111}\text{In}$  complex for imaging and treating tumors)

IT 79-08-3,  $\alpha$ -Bromoacetic acid 85-44-9, 1,3-Isobenzofurandione

90-02-8, Salicylaldehyde, reactions **97-51-8**,

5-Nitrosalicylaldehyde 100-11-8, p-Nitrobenzyl bromide 109-76-2,

1,3-Diaminopropane 111-40-0, Diethylenetriamine 141-78-6, Acetic acid

ethyl ester, reactions 463-71-8, Carbonothioic dichloride 1001-53-2,

N-Acetylenediamine 5437-45-6, Benzyl bromoacetate 50800-85-6,

Indium-111 trichloride 90984-99-9 90984-99-9D, conjugates with

isocyanatohydroxybenzyl(ethylenediamine, propylenediamine, or

~~diethylenetriamine) diacetic acid or  $^{111}\text{In}$  complex~~

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of high affinity chelates containing isothiocyanate groups, atrial

natriuretic peptide-chelate complexes, and its  $^{111}\text{In}$  complex for imaging and treating tumors)

IT **97-51-8**, 5-Nitrosalicylaldehyde

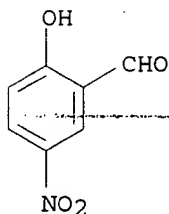
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of high affinity chelates containing isothiocyanate groups, atrial

natriuretic peptide-chelate complexes, and its  $^{111}\text{In}$  complex for imaging and treating tumors)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



L11 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

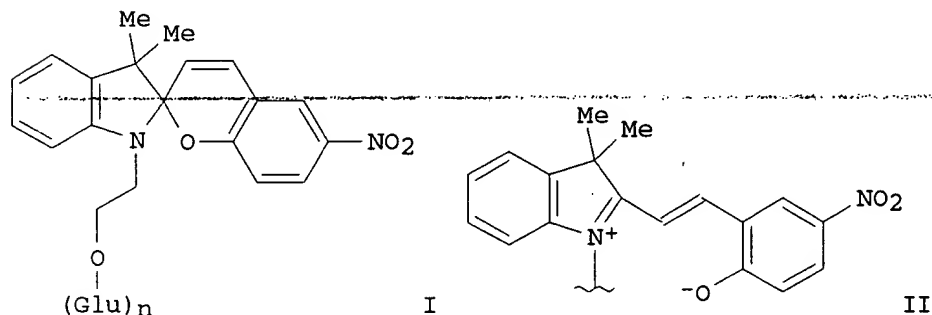
ACCESSION NUMBER: 1995:762793 HCAPLUS

DOCUMENT NUMBER: 123:340919

TITLE: Kinetic study of conformational transition accompanied by isomerization of spiropyrans bound to poly(L-glutamic acid) side chains

AUTHOR(S): Katayama, Ikuo; Tezuka, Yoshihiko; Yajima, Hirofumi; Ishii, Tadahiro

CORPORATE SOURCE: Faculty of Science, Science University of Tokyo,  
Tokyo, 162, Japan  
SOURCE: Journal of Photopolymer Science and Technology (1995),  
8(1), 65-74  
CODEN: JSTEEW; ISSN: 0914-9244  
PUBLISHER: Technical Association of Photopolymers, Japan  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Kinetic studies have been made of the conformational transition of the backbone of spiropyran-modified poly(L-glutamic acid) (PSLG) from  $\alpha$ -helix to random coil, accompanied by the isomerization of spiropyrans in the side chains from spiropyran (SP) form I to merocyanine (MC) form II in hexafluoro-2-propanol in dark adaptation after visible light irradiation by absorption and CD spectra. The SP  $\rightarrow$  MC isomerization in PSLG deviated from first-order kinetics in the earlier stage, where the  $\alpha$ -helix  $\rightarrow$  random coil transition of the backbone correlatively occurred. Then, the isomerization proceeded in first-order kinetics under the conformational state of the backbone merely in random coil. The kinetic analyses of the SP  $\rightarrow$  MC isomerization were carried out on the basis of a binary competitive reaction kinetics, in which two species of SPs bound to the side chains of local PSLG in the backbone conformations of  $\alpha$ -helix and random coil, resp., are involved. As a result, it was derived that the rate of the SP  $\rightarrow$  MC isomerization in the  $\alpha$ -helix backbone was appreciably smaller than that in the random coil backbone, and depended on the spiropyran content in PSLG, indicating that the isomerization rate for PSLG with a low spiropyran content of 0.15 was considerably smaller than that for PSLGs with higher spiropyran contents above 0.25. Moreover, the solvent effect on the isomerization rates has been investigated, using the mixed solvent of HFP/MeOH. Consequently, the anal. results led to the inference that the isomerization rates of the spiropyran side chains are governed by mol. conformations of PSLG.

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 22

IT 16111-07-2DP, esters with polyglutamic acid side chains

**24991-23-9DP**, Poly(glutamic acid), SRU, side chain esters with

hydroxyethyl(nitro)spiro(benzopyranindoline) **25513-46-6DP**,

~~Poly(glutamic acid), side chain esters with hydroxyethyl(nitro)spiro(benzo~~

pyranindoline) 93633-69-3DP, esters with polyglutamic acid side chains

155210-62-1P

RL: PRP (Properties); **SPN (Synthetic preparation); PREP**

**(Preparation)**

(kinetics of conformational transition accompanied by isomerization of spiropyrans bound to polyglutamic acid side chains)

IT 97-51-8, 5-Nitrosalicylaldehyde 624-76-0, 2-Iodoethanol  
18781-58-3, 2,3,3-Trimethylindoline 24991-23-9, Poly(glutamic acid), SRU  
25513-46-6, Poly(glutamic acid) 30924-93-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(kinetics of conformational transition accompanied by isomerization of spiropyrans bound to polyglutamic acid side chains)

IT 24991-23-9DP, Poly(glutamic acid), SRU, side chain esters with hydroxyethyl(nitro)spiro(benzopyranindoline) 25513-46-6DP, Poly(glutamic acid), side chain esters with hydroxyethyl(nitro)spiro(benzopyranindoline)

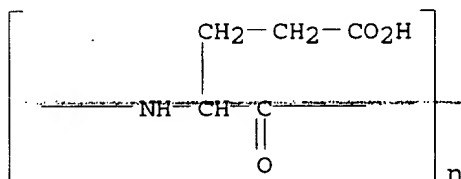
RL: PRP (Properties); SPN (Synthetic preparation); PREP

**(Preparation)**

(kinetics of conformational transition accompanied by isomerization of spiropyrans bound to polyglutamic acid side chains)

RN 24991-23-9 HCAPLUS

CN Poly[imino[(1S)-1-(2-carboxyethyl)-2-oxo-1,2-ethanediyl]] (9CI) (CA INDEX NAME)



RN 25513-46-6 HCAPLUS

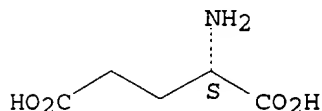
CN L-Glutamic acid, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 56-86-0

CMF C5 H9 N O4

Absolute stereochemistry.



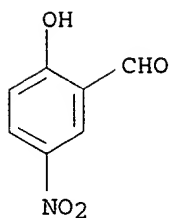
IT 97-51-8, 5-Nitrosalicylaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(kinetics of conformational transition accompanied by isomerization of spiropyrans bound to polyglutamic acid side chains)

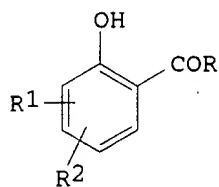
RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



L11 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1984:68742 HCAPLUS  
 DOCUMENT NUMBER: 100:68742  
 TITLE: Aspartame  
 INVENTOR(S): Gourbault, Maurice Jean; Chardin, Arlette; Dressaire Gilles  
 PATENT ASSIGNEE(S): Laboratoires Human Pharm S. A., Fr.  
 SOURCE: Eur. Pat. Appl., 10 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 91330	A1	19831012	EP 1983-400447	19830304
R: AT, DE, GB, NL, SE				
FR 2524877	A1	19831014	FR 1982-6066	19820407
FR 2524877	B1	19850308		
BE 896362	A1	19831003	BE 1983-47806	19830401
ES 521281	A1	19840616	ES 1983-521281	19830406
PRIORITY APPLN. INFO.: GI			FR 1982-6066	A 19820407



I

AB Aspartame was prepared by coupling of N-protected aspartic acid with phenylalanine Me ester. Protection involved Schiff base formation with aromatic compds. I (R = H, alkyl; R1, R2 = H, halo, NO2; R1R2 = benzo). Thus, a mixture of aspartic acid, 2-hydroxyh-1-naphthaldehyde, Et3N, and KOH in MeOH was refluxed for 4 h to form the Schiff base. The latter was stirred with PCl3 in AcOEt-AcOH, phenylalanine Me ester in MeOH was added, and the mixture was stirred for 10-15 h to give 85% aspartame ( $\alpha/\beta$  isomer ratio = 4:1).

IC C07C103-52  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 IT 22839-47-0P 22839-61-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 90-02-8, reactions 90-60-8 97-51-8 582-24-1 635-93-8  
708-06-5 1450-74-4 1450-76-6 2460-59-5 3321-92-4  
69027-37-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with aspartic acid)

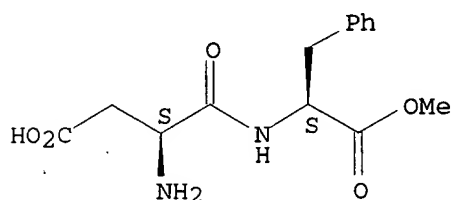
IT 22839-47-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 22839-47-0 HCAPLUS

CN L-Phenylalanine, L- $\alpha$ -aspartyl-, 2-methyl ester (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

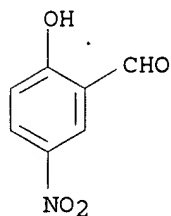


IT 97-51-8 2460-59-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with aspartic acid)

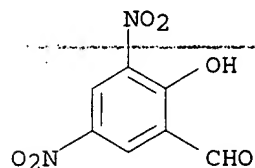
RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



RN 2460-59-5 HCAPLUS

CN Benzaldehyde, 2-hydroxy-3,5-dinitro- (9CI) (CA INDEX NAME)



L11 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:84473 HCAPLUS

DOCUMENT NUMBER: 94:84473



TITLE: Intramolecular O,N-acyl transfer via cyclic intermediates of nine and twelve members. Models for extensions of the amine capture strategy for peptide synthesis

AUTHOR(S): Kemp, D. S.; Kerkman, Daniel J.; Leung, See-Lap; Hanson, Gunnar

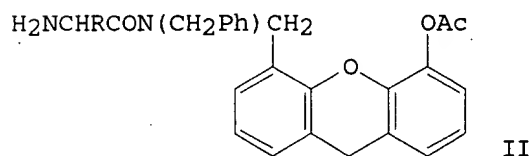
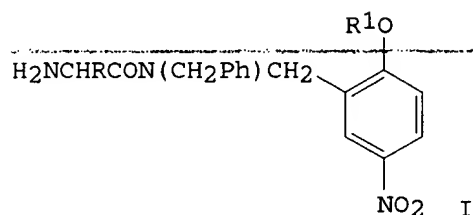
CORPORATE SOURCE: Dep. Chem., Massachusetts Inst. Technol., Cambridge, MA, 02139, USA

SOURCE: Journal of Organic Chemistry (1981), 46(3), 490-8  
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Rate consts. were determined for the title acyl transfer in amino acid amides I [R = H, Me, CHMe<sub>2</sub>, R<sub>1</sub> = Ac; R = H, R<sub>1</sub> = Z-Gly (Z = PhCH<sub>2</sub>O<sub>2</sub>C), Z-Ala, Z-Val; R = CHMe<sub>2</sub>, R<sub>1</sub> = Z-Ala] and II (R = H, Me, CHMe<sub>2</sub>) in CH<sub>3</sub>CN, Me<sub>2</sub>SO, and other solvents. The reaction proceeded via the title cyclic intermediates. Steric and solvent effects were determined. The significance of this acyl transfer for amine capture strategy in peptide synthesis was discussed.

CC 34-2 (Synthesis of Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 22

IT **Peptides, preparation**

RL: **SPN (Synthetic preparation); PREP (Preparation)**  
(preparation of, intramol. O → N-acyl transfer reaction in relation to)

IT **97-51-8**

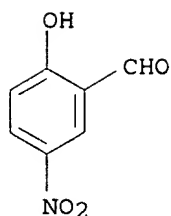
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with benzaldehyde)

IT **97-51-8**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with benzaldehyde)

RN 97-51-8 HCAPLUS

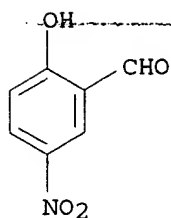
CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



L11 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1975:443746 HCAPLUS  
 DOCUMENT NUMBER: 83:43746  
 TITLE: Amino acid derivatives  
 INVENTOR(S): Bodanszky, Miklos  
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA  
 SOURCE: U.S., 6 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3880838	A	19750429	US 1973-349502	19730409
PRIORITY APPLN. INFO.:			US 1965-451609	A2 19650428
			US 1969-798790	A2 19690212
			US 1970-98939	A3 19701216

GI For diagram(s), see printed CA Issue.  
 AB Treatment of amino acids with active carbonyl compds. gave Schiff's bases followed by cyclization to give lactones which were coupled with amino acid esters to yield peptides. Thus, L-phenylalanine reacted with 3-formyl-N-hydroxyphthalimide in refluxing THF containing EtOC.tplbond.CH for 1 hr to give I. Similarly L-alanine L-serine, L-glutamine, L-methionine reacted with 5-chlorosalicylaldehyde,  $\alpha$ -formyl-N-hydroxysuccinimide, 4-acetyl-N-hydroxypiperidine, and 2,4-pentanedione.  
 IC C07D  
 INCL 260239300B  
 CC 34-2 (Synthesis of Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 28  
 IT **Peptides, preparation**  
 RL: **PREP (Preparation)**  
 (from amino acids Schiff base lactone)  
 IT **97-51-8** 635-93-8 39508-63-9 39508-65-1 39595-27-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with amino acids, lactones from)  
 IT **97-51-8**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with amino acids, lactones from)  
 RN 97-51-8 HCAPLUS  
 CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



L11 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1973:58801 HCAPLUS

DOCUMENT NUMBER: 78:58801

TITLE: Amino acid derivatives

INVENTOR(S): Bodanszky, Miklos

PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.

SOURCE: U.S., 5 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3704246	A	19721128	US 1970-98924	19701216
GB 1348512	A	19740320	GB 1971-48031	19711015
			US 1970-98924	A 19701216

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB L-Leucine and 5-nitrosalicylaldehyde formed a Schiff base which was cyclized by dicyclohexylcarbodiimide to the lactone (I). Acylation of glycine Et ester by I, followed by hydrolysis with dilute HCl, yielded Leu-Gly-OEt. 3-Formyl-N-hydroxyphthalimide,  $\alpha$ -formyl-N-hydroxysuccinimide, 4-acetyl-N-hydroxypiperidine, and 2,4-pentanedione are reacted with amino acids and cyclized to give analogous activated lactones.

IC C07D

INCL 260333000

CC 34-2 (Synthesis of Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 28

IT **Peptides, preparation**

RL: **PREP (Preparation)**

(activated amino acid lactones in relation to)

IT **97-51-8**

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with leucine)

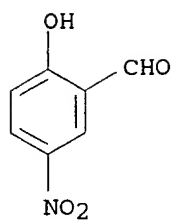
IT **97-51-8**

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with leucine)

RN 97-51-8 HCAPLUS

CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2000:227674 HCAPLUS  
DOCUMENT NUMBER: 132:265505  
ENTRY DATE: Entered STN: 07 Apr 2000  
TITLE: Solid phase synthesis of small cyclic peptides via  
on-resin cyclization  
INVENTOR(S): Smythe, Mark Leslie; Meutermans, Wim Denise Frans  
PATENT ASSIGNEE(S): The University of Queensland, Australia  
SOURCE: PCT Int. Appl., 84 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
INT. PATENT CLASSIF.:  
MAIN: C07K001-02  
SECONDARY: C07K001-04; C07K001-107  
CLASSIFICATION: 34-3 (Amino Acids, Peptides, and Proteins)  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018789	A1	20000406	WO 1999-AU812	19990924 <--
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2345067	AA	20000406	CA 1999-2345067	19990924 <--
AU 9963196	A1	20000417	AU 1999-63196	19990924 <--
AU 768649	B2	20031218		
EP 1115739	A1	20010718	EP 1999-950390	19990924 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002525376	T2	20020813	JP 2000-572247	19990924 <--
PRIORITY APPLN. INFO.:			AU 1998-6165	A 19980925
			WO 1999-AU812	W 19990924 <--

## PATENT CLASSIFICATION CODES:

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
WO 2000018789	ICM	C07K001-02	
	ICS	C07K001-04; C07K001-107	
WO 2000018789	ECLA	C07K001/00A; C07K001/04; C07K007/64	<--
OTHER SOURCE(S):		CASREACT 132:265505; MARPAT 132:265505	

## ABSTRACT:

This invention relates to novel auxiliaries for the formation of amide bonds, and to the use of these auxiliaries in a variety of synthetic applications, such as the synthesis of peptides and peptidomimetic compds., and in particular for the synthesis of "small cyclic peptides", so-called "difficult" peptide sequences, and large peptides with a native peptide backbone. The auxiliaries of the invention are also useful in the synthesis of peptides or of C-terminal modified peptides, and in on-resin cyclization of organic mols., ligating chemical, backbone substitution and as backbone linkers. In a particularly preferred embodiment, the invention provides auxiliaries which can be removed by

photolysis. Methods of synthesis of a linear or cyclic peptide, a C-terminal modified peptide, or of on-resin cyclization of a peptide mol., comprising the step of linking an amine nitrogen atom to an auxiliary compound of the invention, specific auxiliary compds., which may optionally be linked to a solid support, and kits for synthesis are disclosed and claimed. Thus, cyclo-[Ala-Phe-Leu-Pro-Ala] was prepared via on-resin cyclization reaction.

SUPPL. TERM: peptidomimetic cyclic peptide synthesis cyclization;  
cyclization solid phase synthesis cyclic peptide

INDEX TERM: Peptides, preparation  
ROLE: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(cyclic; solid phase synthesis of small cyclic peptides via on-resin cyclization)

INDEX TERM: Solid phase synthesis  
(peptide; solid phase synthesis of small cyclic peptides via on-resin cyclization)

INDEX TERM: Cyclization  
Peptidomimetics  
(solid phase synthesis of small cyclic peptides via on-resin cyclization)

INDEX TERM: 263144-32-7  
ROLE: RCT (Reactant); RACT (Reactant or reagent)  
(g solid phase synthesis of small cyclic peptides via on-resin cyclization)

INDEX TERM: 189031-42-3P 215923-20-9P  
263144-08-7DP, resin bound 263144-09-8DP,  
resin bound 263144-10-1DP, resin bound  
263144-11-2DP, resin bound 263144-12-3P  
263144-13-4DP, resin bound 263144-14-5DP,  
resin bound 263144-21-4P 263144-23-6P  
263144-39-4DP, resin bound 263144-40-7DP,  
resin bound 263144-41-8DP, resin bound  
263144-42-9DP, resin bound 263144-43-0DP,  
resin bound 263144-44-1DP, resin bound  
263144-45-2DP, resin bound 263144-46-3DP,  
resin bound 263144-47-4DP, resin bound  
263144-48-5DP, resin bound 263144-49-6DP,  
resin bound 263144-50-9DP, resin bound  
263144-51-0DP, resin bound 263144-52-1DP,  
resin bound 263144-53-2DP, resin bound  
263144-54-3DP, resin bound 263144-55-4DP,  
resin bound 263144-56-5DP, resin bound  
263144-57-6DP, resin bound 263144-58-7DP,  
resin bound 263144-59-8DP, resin bound  
263144-60-1DP, resin bound 263146-86-7DP,  
resin bound  
ROLE: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
(solid phase synthesis of small cyclic peptides via on-resin cyclization)

INDEX TERM: 97-51-8 1694-92-4 16855-08-6  
23081-03-0 35661-39-3D, trityl resin bound  
89040-08-4 252667-07-5D, resin bound  
252667-11-1 263144-02-1  
263144-04-3D, resin bound 263144-05-4D,  
resin bound 263144-25-8 263144-26-9  
263144-27-0 263144-28-1

263144-29-2 263144-30-5  
263144-31-6 263144-33-8  
263144-34-9 263144-35-0  
263144-36-1 263144-37-2  
263144-38-3

ROLE: RCT (Reactant); RACT (Reactant or reagent)  
(solid phase synthesis of small cyclic peptides via  
on-resin cyclization)

## INDEX TERM:

252667-08-6P 252667-09-7P  
252667-10-0P 252667-12-2P  
252667-14-4P 252667-19-9P  
263144-00-9P 263144-01-0DP, resin bound  
263144-03-2P 263144-06-5DP, resin bound  
263144-07-6DP, resin bound 263144-15-6P  
263144-18-9P

ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT (Reactant or reagent)  
(solid phase synthesis of small cyclic peptides via  
on-resin cyclization)

## INDEX TERM:

252667-17-7P 252667-20-2P  
252667-21-3P

ROLE: SPN (Synthetic preparation); PREP (Preparation)  
(solid phase synthesis of small cyclic peptides via  
on-resin cyclization)

## REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD.

## REFERENCE(S):

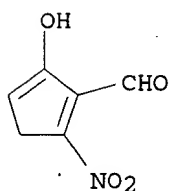
- (1) Anon; Angew Chem, Int Ed 1999, V38(7), P937 HCAPLUS
- (2) Anon; Histochem J 1987, V19(9), P476 HCAPLUS
- (3) Anon; J Histochem Cytochem 1979, V27(11), P1494 HCAPLUS
- (4) Anon; Science 1997, V275(5302), P945 HCAPLUS
- (5) Anon; Tetrahedron 1974, V30(20), P3677 HCAPLUS
- (6) Merck Frosst Canada Inc; CA 2154214 A 1996 HCAPLUS

## IT 263144-32-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(g solid phase synthesis of small cyclic peptides via on-resin  
cyclization)

## RN 263144-32-7 HCAPLUS

CN 1,4-Cyclopentadiene-1-carboxaldehyde, 5-hydroxy-2-nitro- (9CI) (CA INDEX  
NAME)



IT 189031-42-3P 215923-20-9P 263144-08-7DP, resin  
bound 263144-09-8DP, resin bound 263144-10-1DP, resin  
bound 263144-11-2DP, resin bound 263144-12-3P  
263144-13-4DP, resin bound 263144-14-5DP, resin bound  
263144-21-4P 263144-23-6P 263144-39-4DP, resin  
bound 263144-40-7DP, resin bound 263144-41-8DP, resin  
bound 263144-42-9DP, resin bound 263144-43-0DP, resin  
bound 263144-44-1DP, resin bound 263144-45-2DP, resin

bound 263144-46-3DP, resin bound 263144-47-4DP, resin  
 bound 263144-48-5DP, resin bound 263144-49-6DP, resin  
 bound 263144-50-9DP, resin bound 263144-51-0DP, resin  
 bound 263144-52-1DP, resin bound 263144-53-2DP, resin  
 bound 263144-54-3DP, resin bound 263144-55-4DP, resin  
 bound 263144-56-5DP, resin bound 263144-57-6DP, resin  
 bound 263144-58-7DP, resin bound 263144-59-8DP, resin  
 bound 263144-60-1DP, resin bound 263146-86-7DP, resin  
 bound

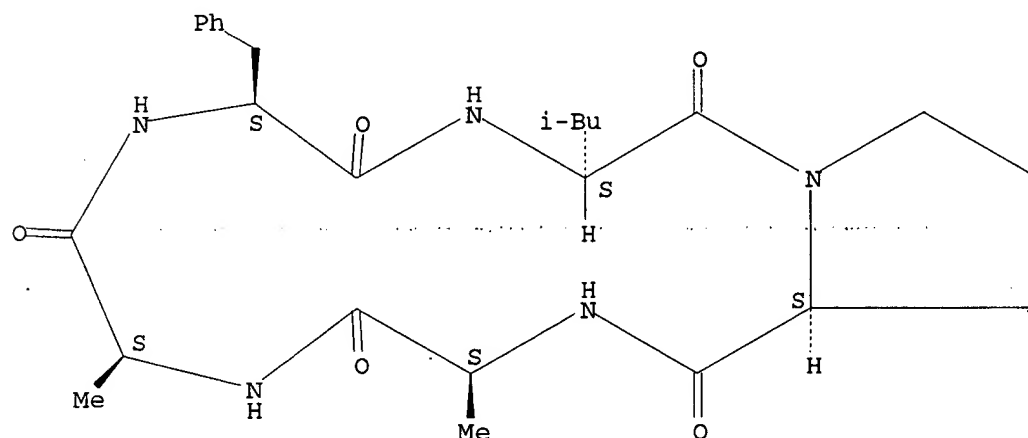
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
 (Preparation)

(solid phase synthesis of small cyclic peptides via on-resin  
 cyclization)

RN 189031-42-3 HCAPLUS

CN Cyclo(L-alanyl-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl) (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.



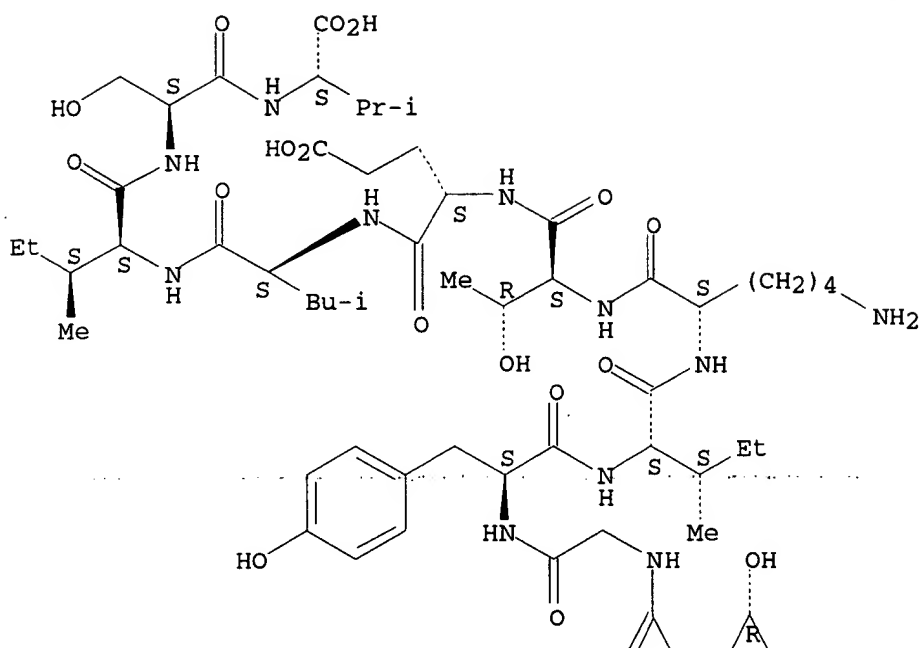
RN 215923-20-9 HCAPLUS

CN L-Valine, L-threonylglycyl-L-tyrosyl-L-isoleucyl-L-lysyl-L-threonyl-L-  
 $\alpha$ -glutamyl-L-leucyl-L-isoleucyl-L-seryl- (9CI) (CA INDEX NAME)

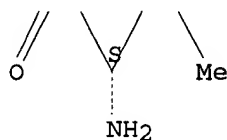
Absolute stereochemistry.



PAGE 1-A



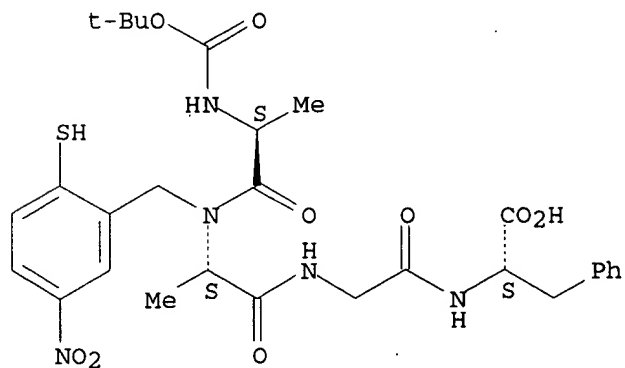
PAGE 2-A



RN 263144-08-7 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-mercapto-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

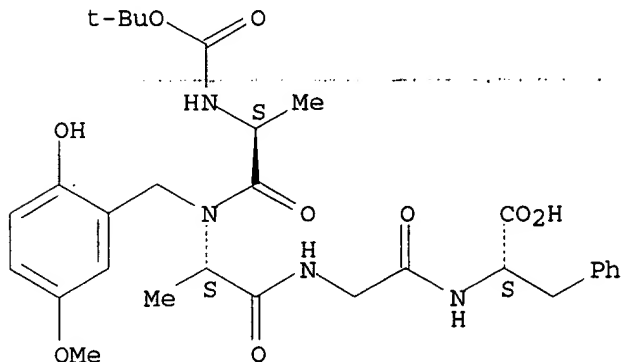
Absolute stereochemistry.



RN 263144-09-8 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

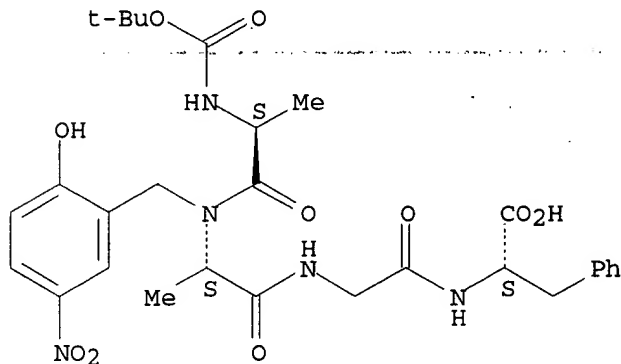
Absolute stereochemistry.



RN 263144-10-1 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

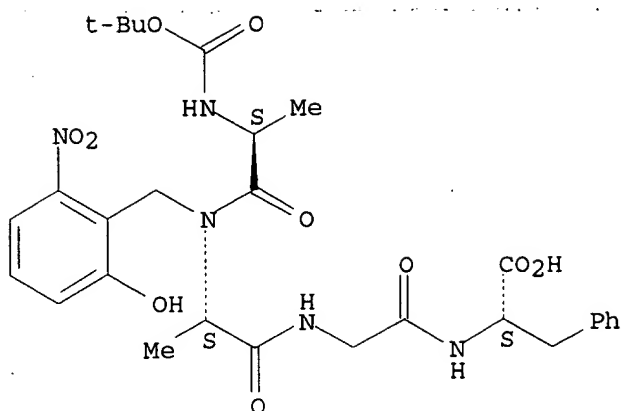
Absolute stereochemistry.



RN 263144-11-2 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

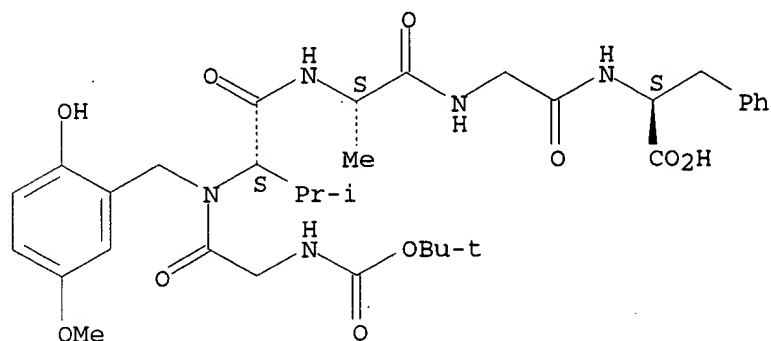
Absolute stereochemistry.



RN 263144-12-3 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

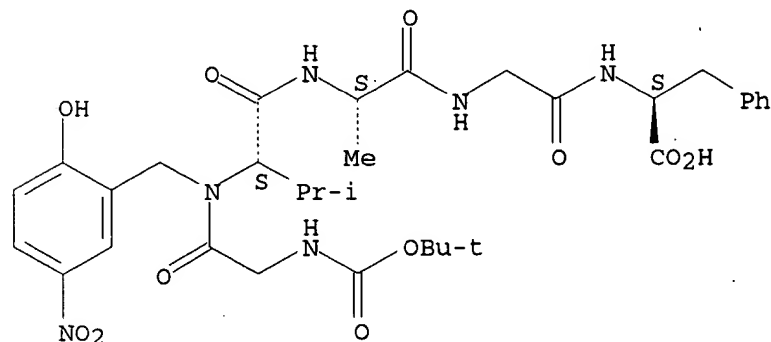
Absolute stereochemistry:



RN 263144-13-4 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry:

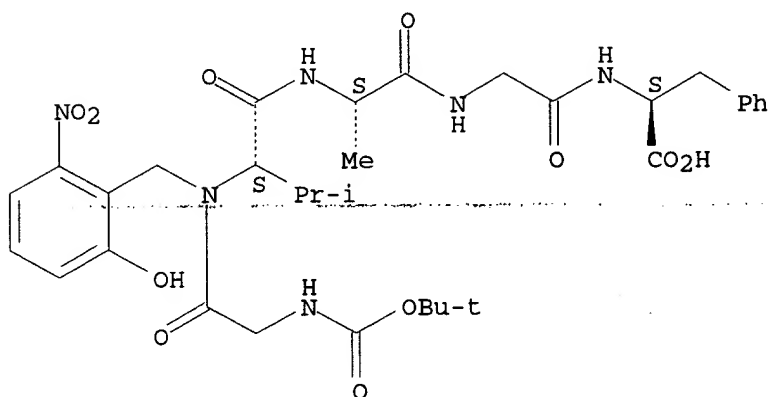


RN 263144-14-5 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-N-[(2-hydroxy-6-

nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

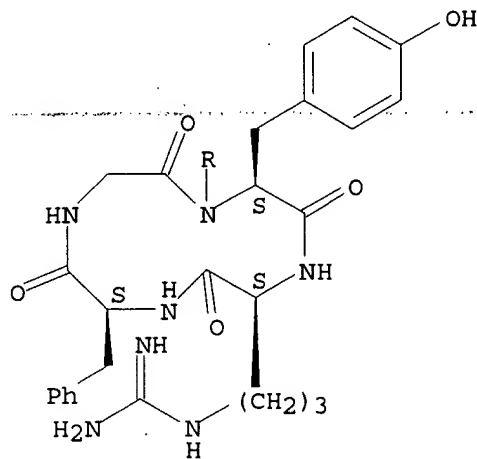


RN 263144-21-4 HCAPLUS

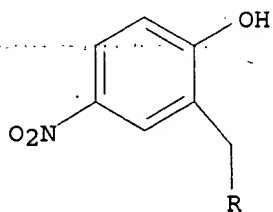
CN Cyclo[L-arginyl-L-phenylalanylglycyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-tyrosyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



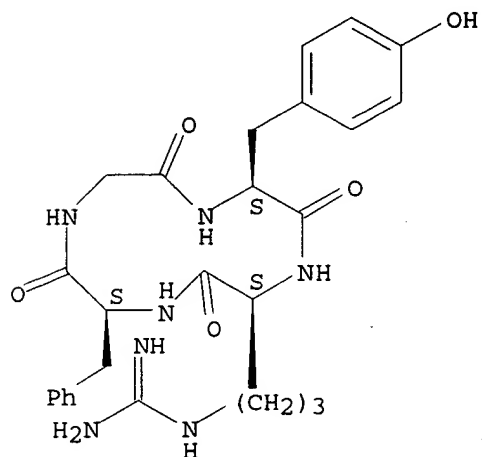
PAGE 2-A



RN 263144-23-6 HCAPLUS

CN Cyclo(L-arginyl-L-phenylalanylglycyl-L-tyrosyl) (9CI) (CA INDEX NAME)

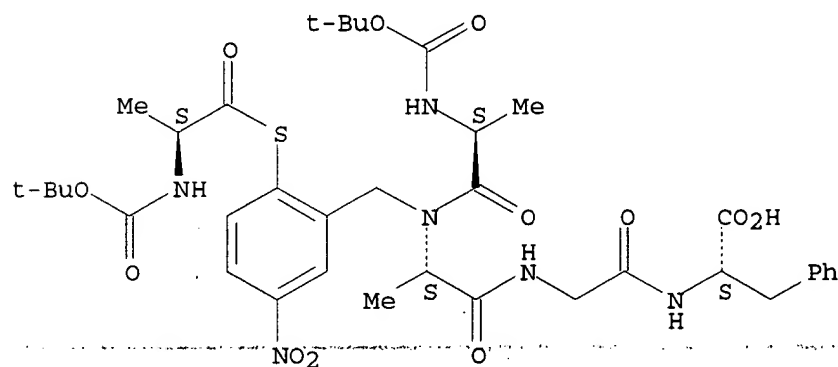
Absolute stereochemistry.



RN 263144-39-4 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[[2-[[ (2S)-2-[[ (1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]thio]-5-nitrophenyl]methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

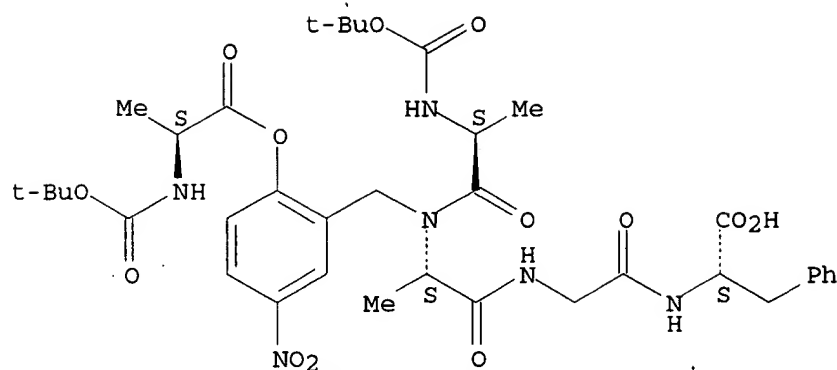
Absolute stereochemistry.



RN 263144-40-7 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-alanine (9CI) (CA INDEX NAME)

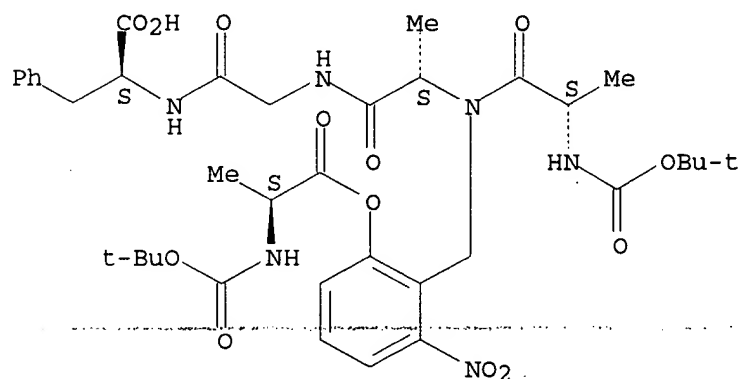
Absolute stereochemistry.



RN 263144-41-8 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-alanine (9CI) (CA INDEX NAME)

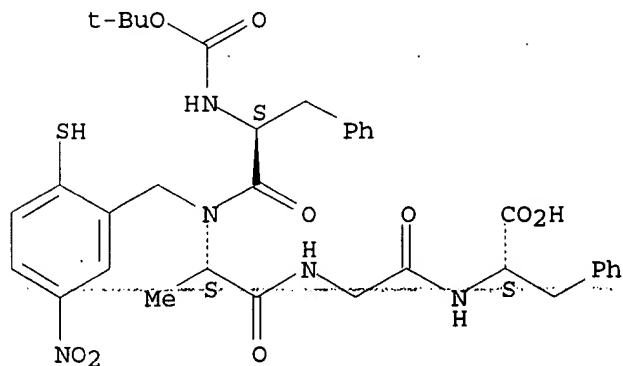
Absolute stereochemistry.



RN 263144-42-9 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-mercapto-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

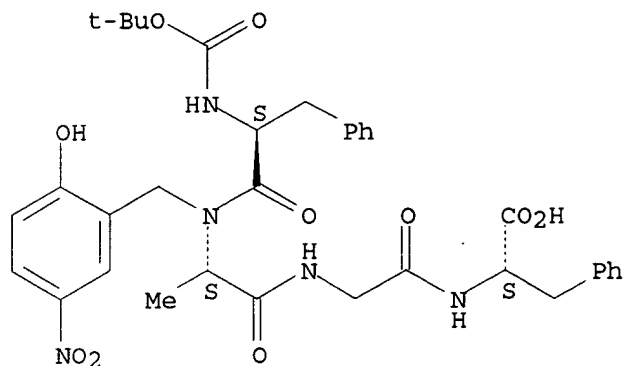
Absolute stereochemistry.



RN 263144-43-0 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

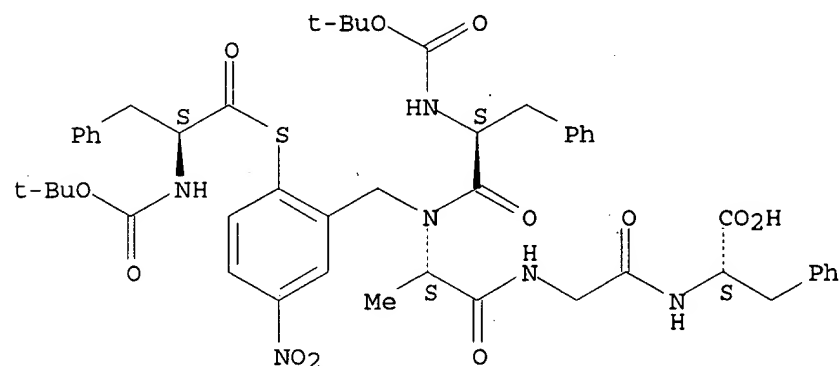
Absolute stereochemistry.



RN 263144-44-1 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[[2-[[[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]thio]-5-nitrophenyl]methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

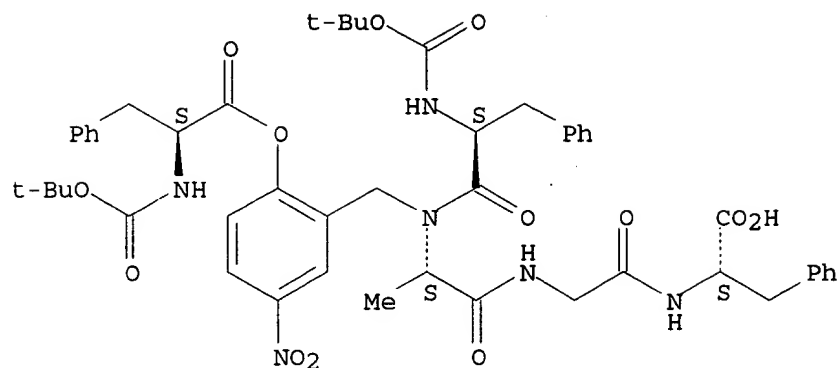
Absolute stereochemistry.



RN 263144-45-2 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanine (9CI) (CA INDEX NAME)

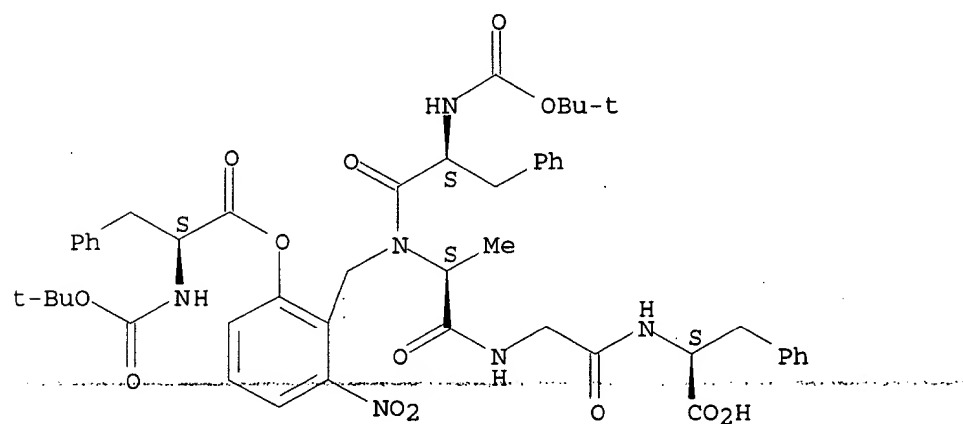
Absolute stereochemistry.



RN 263144-46-3 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanine (9CI) (CA INDEX NAME)

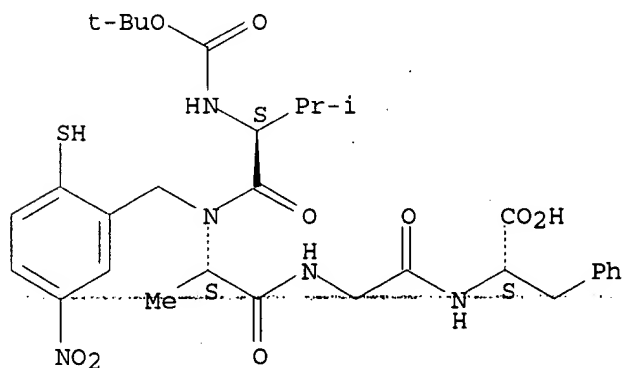
Absolute stereochemistry.



RN 263144-47-4 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-mercapto-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

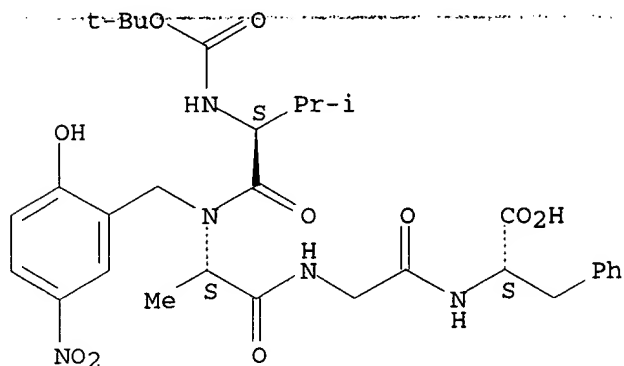




RN 263144-48-5 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

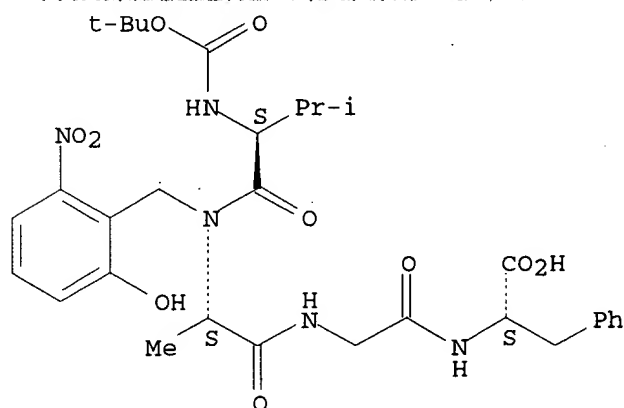
Absolute stereochemistry.



RN 263144-49-6 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

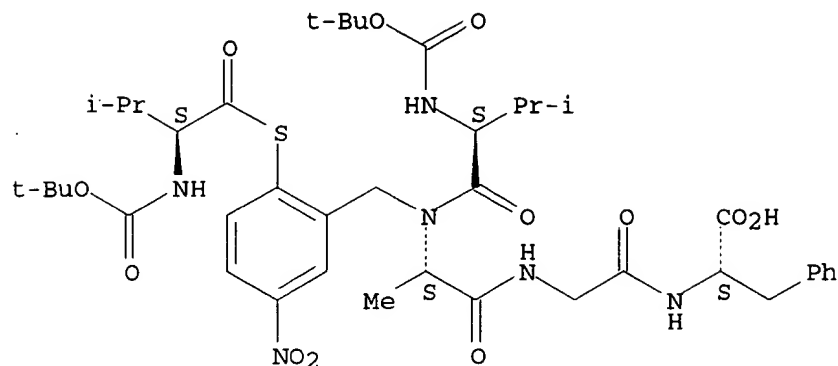
Absolute stereochemistry.



RN 263144-50-9 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[[2-[[[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]thio]-5-nitrophenyl]methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

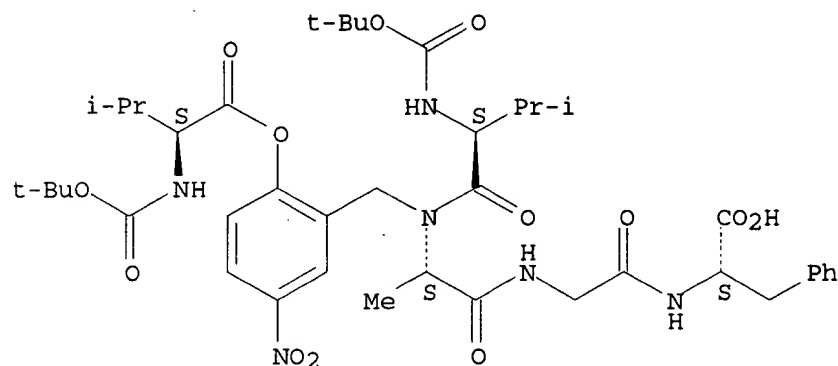
Absolute stereochemistry.



RN 263144-51-0 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[[2-[(2S)-2-  
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 nitrophenyl]methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

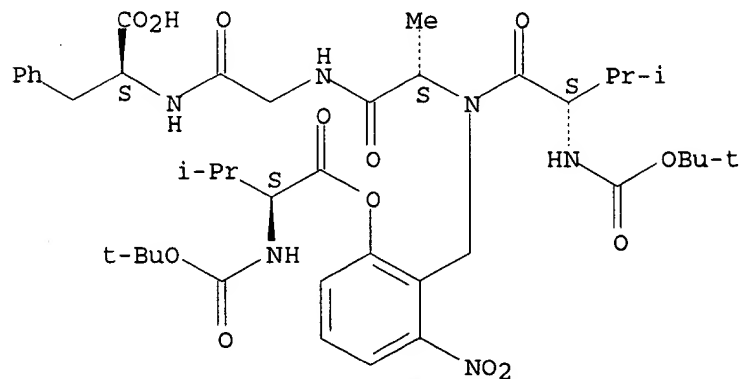
Absolute stereochemistry.



RN 263144-52-1 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-6-  
 nitrophenyl)methyl]-L-alanylglycyl-, ester with N-[(1,1-  
 dimethylethoxy)carbonyl]-L-valine (9CI) (CA INDEX NAME)

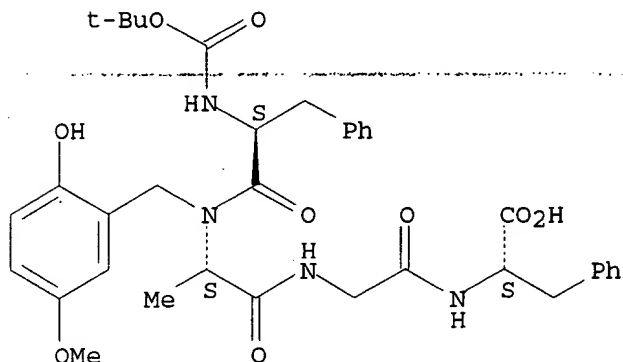
Absolute stereochemistry.



RN 263144-53-2 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

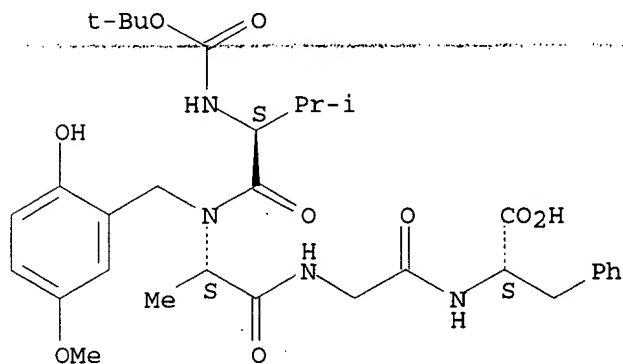
Absolute stereochemistry.



RN 263144-54-3 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

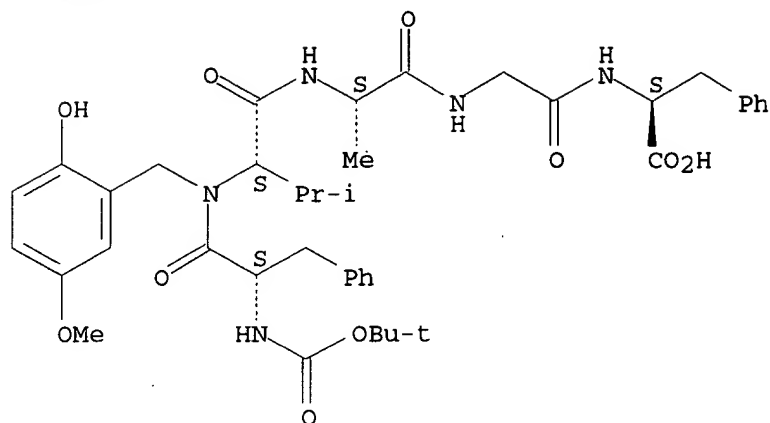
Absolute stereochemistry.



RN 263144-55-4 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

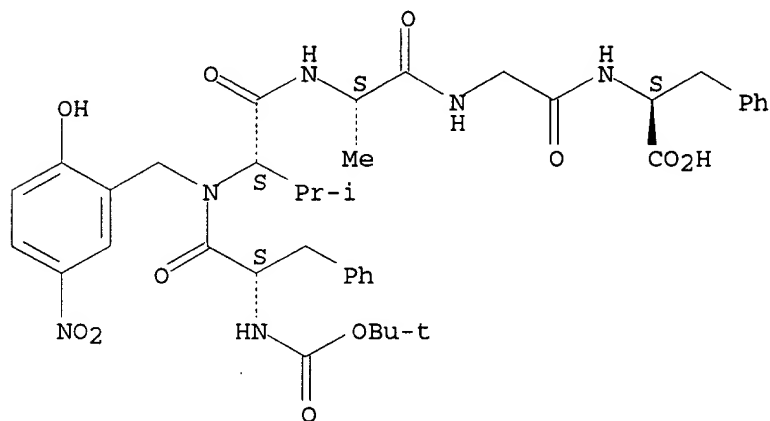
Absolute stereochemistry.



RN 263144-56-5 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

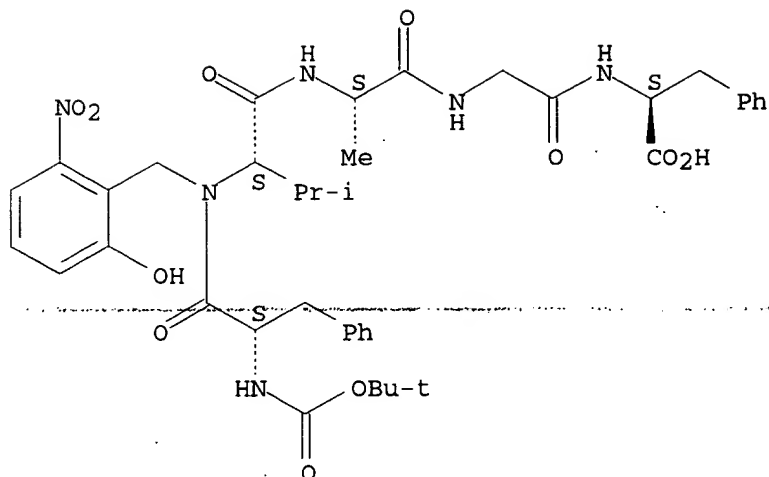
Absolute stereochemistry.



RN 263144-57-6 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

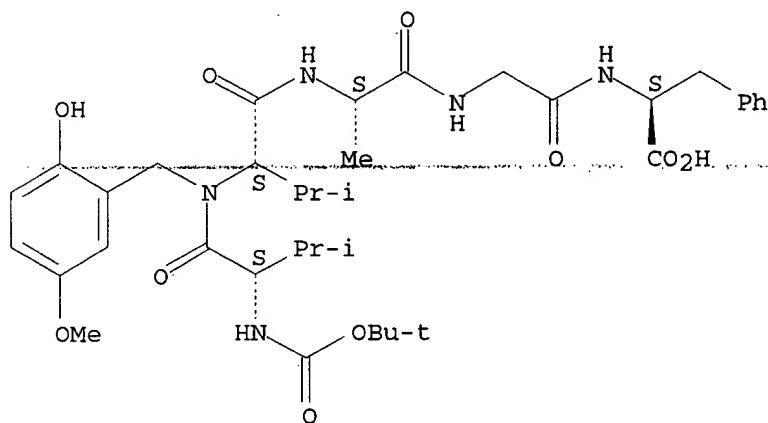
Absolute stereochemistry.



RN 263144-58-7 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-5-methoxyphenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

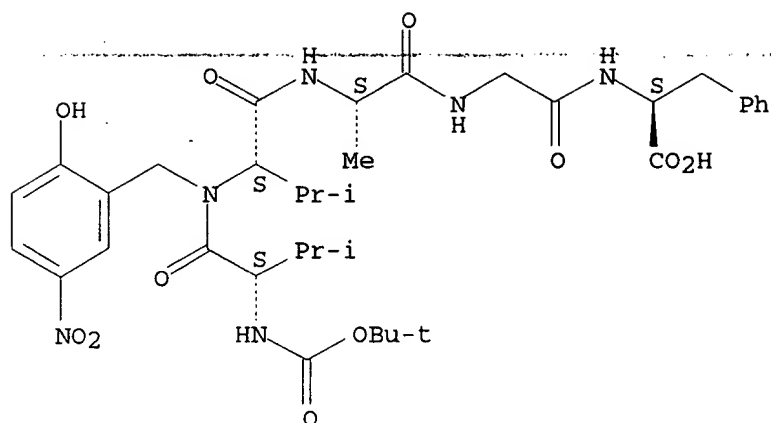
Absolute stereochemistry.



RN 263144-59-8 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

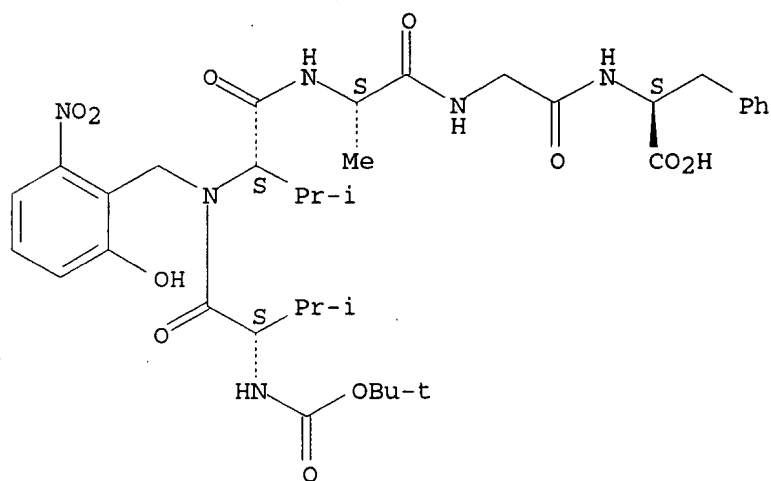
Absolute stereochemistry.



RN 263144-60-1 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-valyl-L-alanylglycyl- (9CI) (CA INDEX NAME)

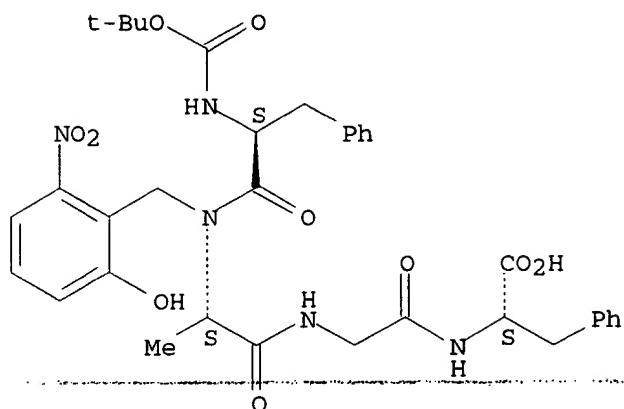
Absolute stereochemistry.



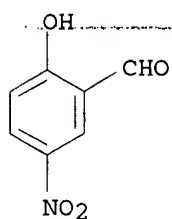
RN 263146-86-7 HCAPLUS

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

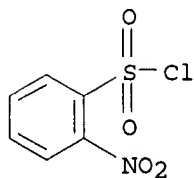
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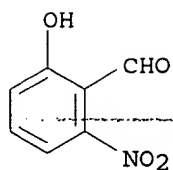
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 263144-29-2 263144-30-5 263144-31-6  
 263144-33-8 263144-34-9 263144-35-0  
 263144-36-1 263144-37-2 263144-38-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (solid phase synthesis of small cyclic peptides via on-resin  
 cyclization)  
 RN 97-51-8 HCAPLUS  
 CN Benzaldehyde, 2-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



RN 1694-92-4 HCAPLUS  
 CN Benzenesulfonyl chloride, 2-nitro- (9CI) (CA INDEX NAME)

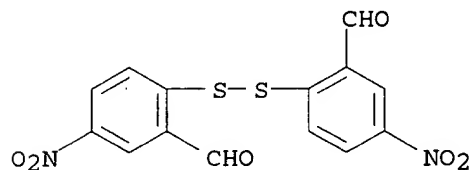


RN 16855-08-6 HCAPLUS  
 CN Benzaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)



RN 23081-03-0 HCAPLUS

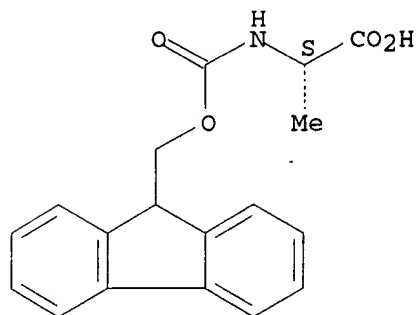
CN Benzaldehyde, 2,2'-dithiobis[5-nitro- (8CI, 9CI) (CA INDEX NAME)



RN 35661-39-3 HCAPLUS

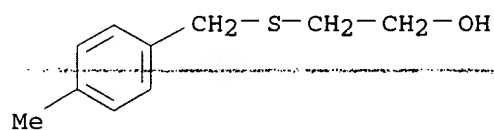
CN L-Alanine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 89040-08-4 HCAPLUS

CN Ethanol, 2-[[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

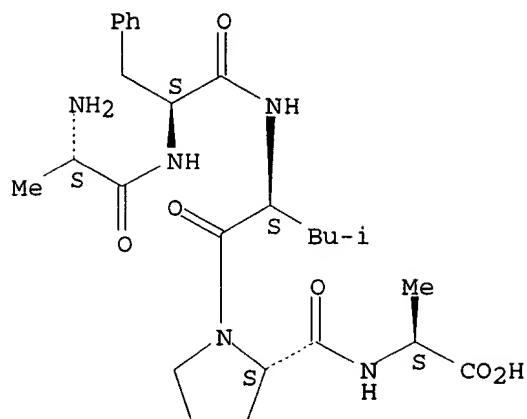


RN 252667-07-5 HCAPLUS

CN L-Alanine, L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

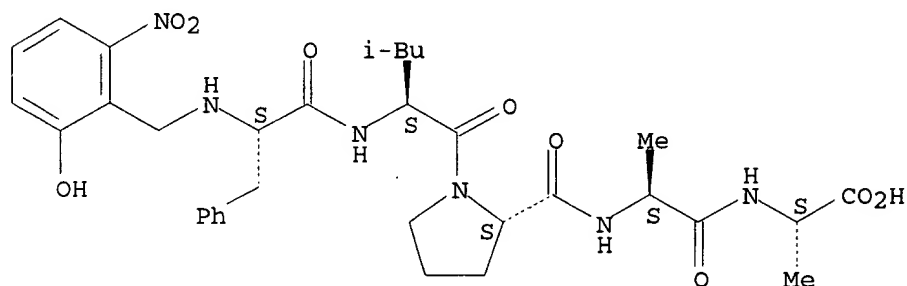




RN 252667-11-1 HCAPLUS

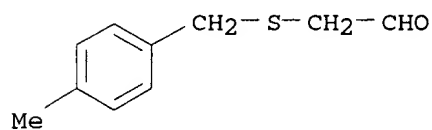
L-Alanine, N-[(2-hydroxy-6-nitrophenyl)methyl]-L-phenylalanyl-L-leucyl-L-prolyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN ~~263144-02-1~~ HCAPLUS

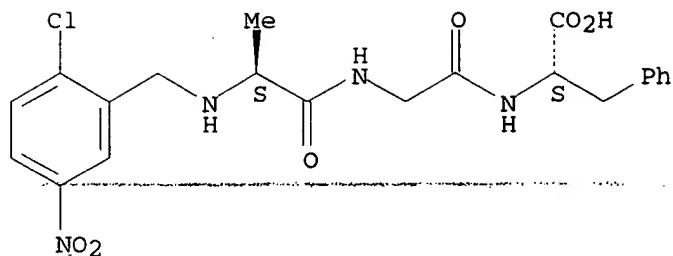
CN    Acetaldehyde, [[(4-methylphenyl)methyl]thio]- (9CI)    (CA INDEX NAME)



RN 263144-04-3 HCAPLUS

CN L-Phenylalanine, N-[(2-chloro-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI)  
(CA INDEX NAME)

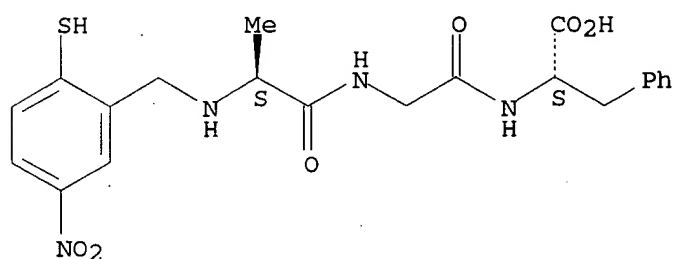
Absolute stereochemistry.



RN 263144-05-4 HCAPLUS

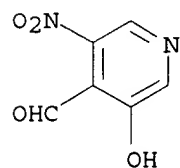
CN L-Phenylalanine, N-[(2-mercapto-5-nitrophenyl)methyl]-L-alanylglycyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

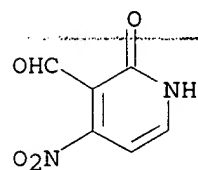


RN 263144-25-8 HCAPLUS

CN 4-Pyridinecarboxaldehyde, 3-hydroxy-5-nitro- (9CI) (CA INDEX NAME)

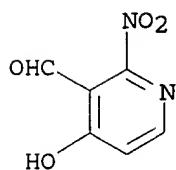


RN 263144-26-9 HCAPLUS

CN 3-Pyridinecarboxaldehyde, 1,2-dihydro-4-nitro-2-oxo- (9CI) (CA INDEX  
NAME)

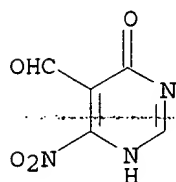
RN 263144-27-0 HCAPLUS

CN 3-Pyridinecarboxaldehyde, 4-hydroxy-2-nitro- (9CI) (CA INDEX NAME)



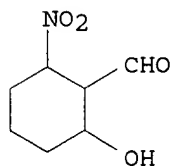
RN 263144-28-1 HCAPLUS

CN 5-Pyrimidinecarboxaldehyde, 1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



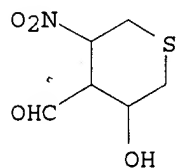
RN 263144-29-2 HCAPLUS

CN Cyclohexanecarboxaldehyde, 2-hydroxy-6-nitro- (9CI) (CA INDEX NAME)



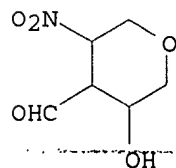
RN 263144-30-5 HCAPLUS

CN 2H-Thiopyran-4-carboxaldehyde, tetrahydro-3-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



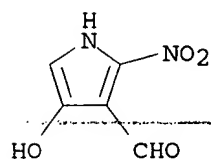
RN 263144-31-6 HCAPLUS

CN 2H-Pyran-4-carboxaldehyde, tetrahydro-3-hydroxy-5-nitro- (9CI) (CA INDEX NAME)



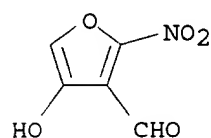
RN 263144-33-8 HCAPLUS

CN 1H-Pyrrole-3-carboxaldehyde, 4-hydroxy-2-nitro- (9CI) (CA INDEX NAME)



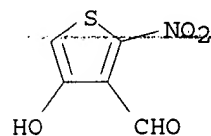
RN 263144-34-9 HCAPLUS

CN 3-Furancarboxaldehyde, 4-hydroxy-2-nitro- (9CI) (CA INDEX NAME)



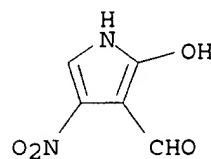
RN 263144-35-0 HCAPLUS

CN 3-Thiophenecarboxaldehyde, 4-hydroxy-2-nitro- (9CI) (CA INDEX NAME)



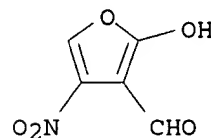
RN 263144-36-1 HCAPLUS

CN 1H-Pyrrole-3-carboxaldehyde, 2-hydroxy-4-nitro- (9CI) (CA INDEX NAME)



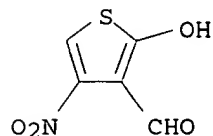
RN 263144-37-2 HCAPLUS

CN 3-Furancarboxaldehyde, 2-hydroxy-4-nitro- (9CI) (CA INDEX NAME)



RN 263144-38-3 HCAPLUS

CN 3-Thiophenecarboxaldehyde, 2-hydroxy-4-nitro- (9CI) (CA INDEX NAME)



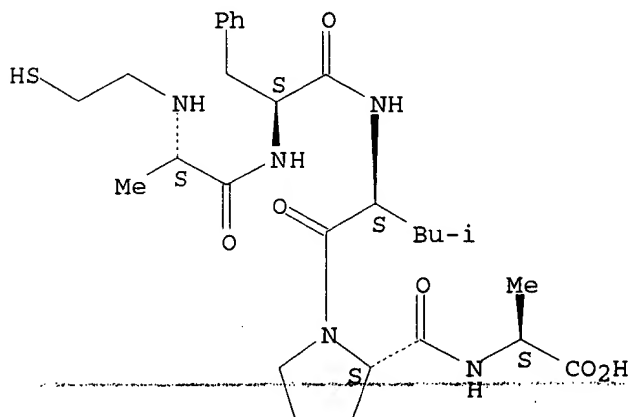
IT 252667-08-6P 252667-09-7P 252667-10-0P  
 252667-12-2P 252667-14-4P 252667-19-9P  
 263144-00-9P 263144-01-0DP, resin bound  
 263144-03-2P 263144-06-5DP, resin bound  
 263144-07-6DP, resin bound 263144-15-6P  
 263144-18-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (solid phase synthesis of small cyclic peptides via on-resin  
 cyclization)

RN 252667-08-6 HCAPLUS

CN L-Alanine, N-(2-mercaptoethyl)-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl-  
 (9CI) (CA INDEX NAME)

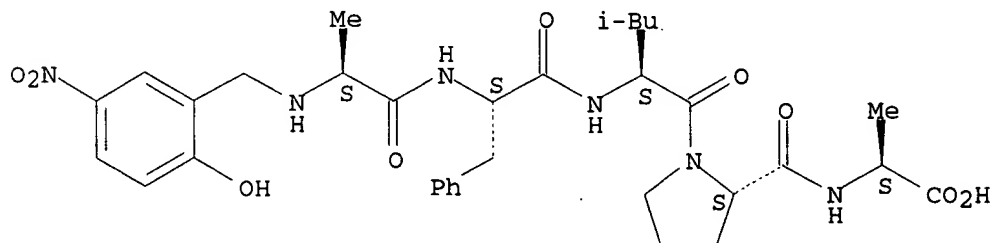
Absolute stereochemistry.



RN 252667-09-7 HCAPLUS

CN L-Alanine, N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanyl-L-phenylalanyl-L-  
 leucyl-L-prolyl- (9CI) (CA INDEX NAME)

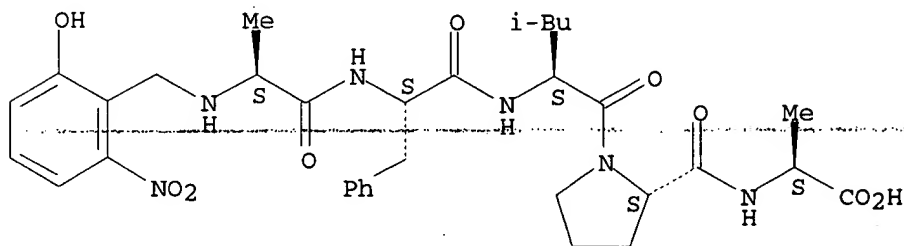
Absolute stereochemistry.



RN 252667-10-0 HCAPLUS

CN L-Alanine, N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

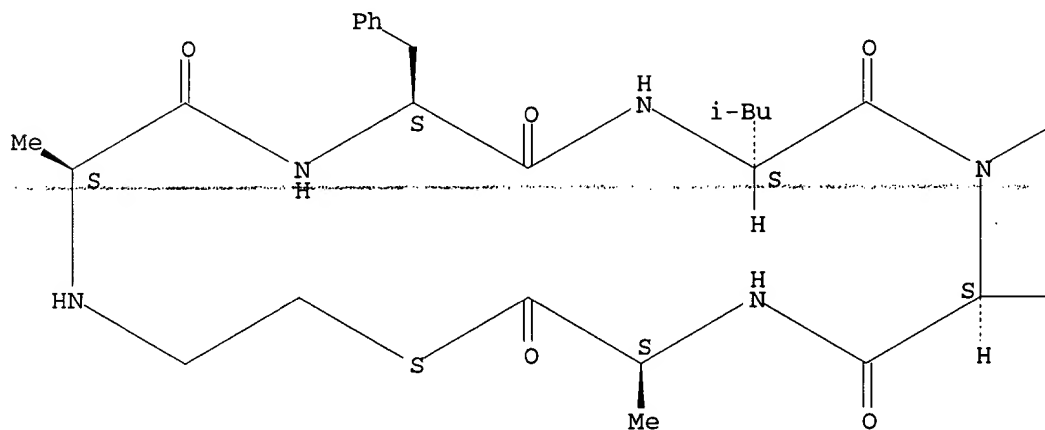


RN 252667-12-2 HCAPLUS

CN L-Alanine, N-(2-mercaptoethyl)-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl-, (5-1)-thiolactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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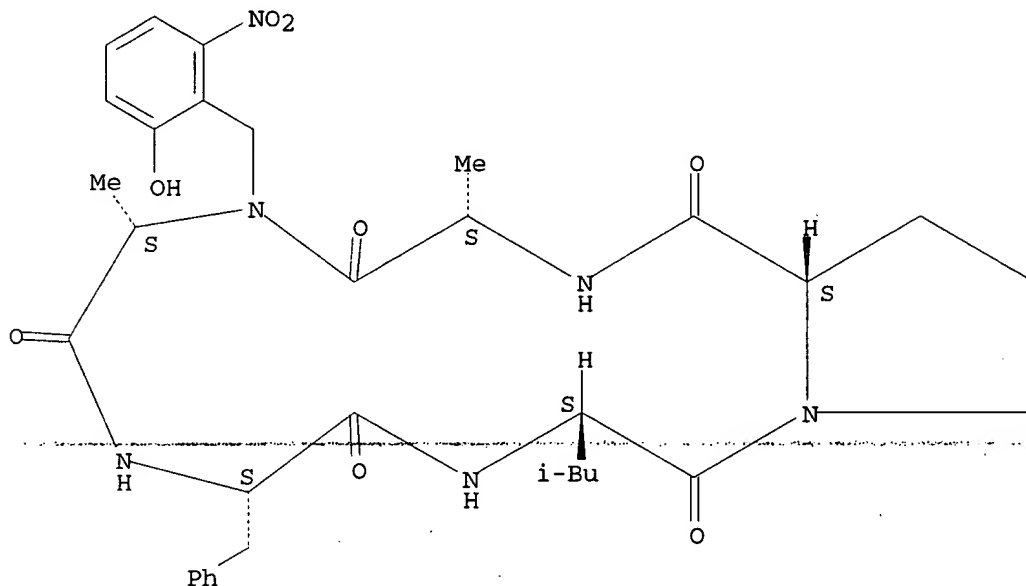
PAGE 1-B



RN 252667-14-4 HCAPLUS

CN Cyclo[L-alanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl] (9CI) (CA INDEX NAME)

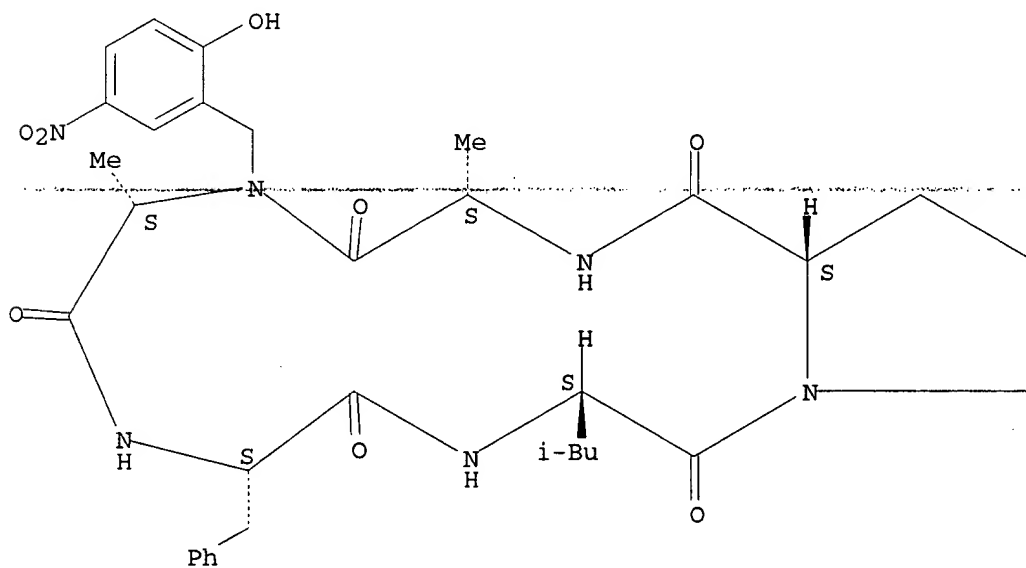
Absolute stereochemistry.



RN 252667-19-9 HCAPLUS

CN Cyclo[L-alanyl-N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl] (9CI) (CA INDEX NAME)

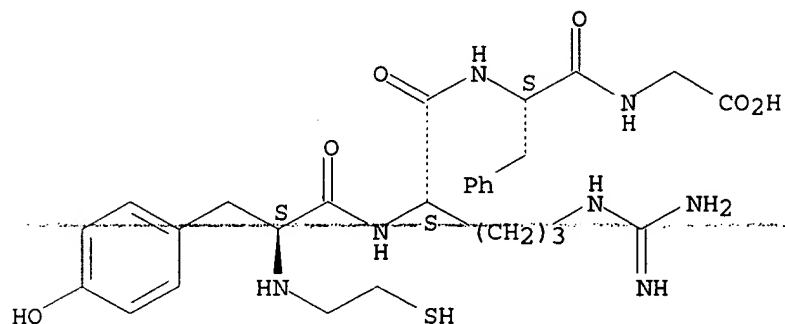
Absolute stereochemistry.



RN 263144-00-9 HCAPLUS

CN Glycine, N-(2-mercaptoethyl)-L-tyrosyl-L-arginyl-L-phenylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

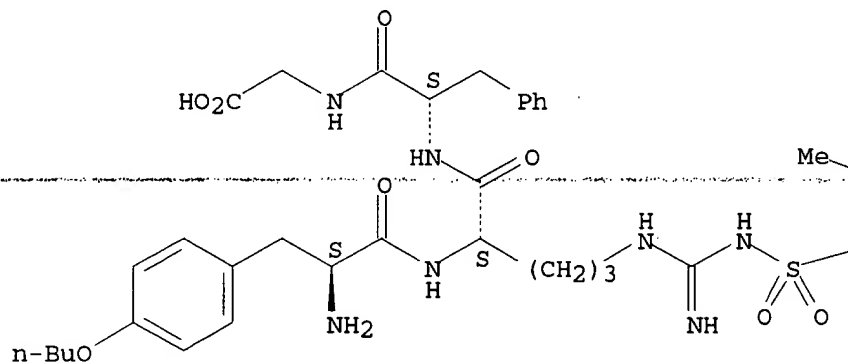


RN 263144-01-0 HCAPLUS

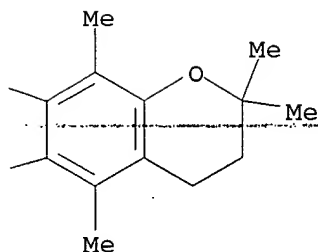
CN Glycine, O-butyl-L-tyrosyl-N5-[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]-L-ornithyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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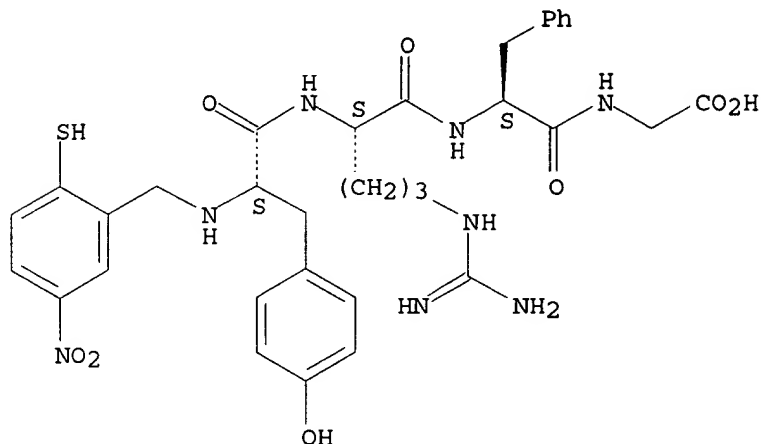




RN 263144-03-2 HCAPLUS

CN Glycine, N-[(2-mercapto-5-nitrophenyl)methyl]-L-tyrosyl-L-arginyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

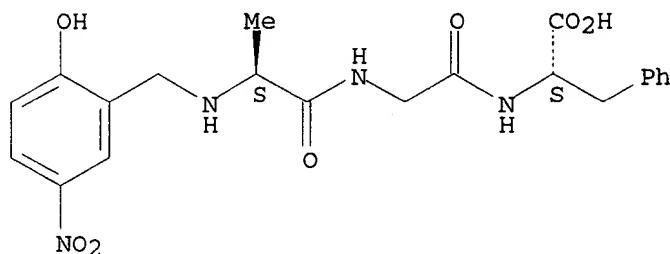
Absolute stereochemistry.



RN 263144-06-5 HCAPLUS

CN L-Phenylalanine, N-[(2-hydroxy-5-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

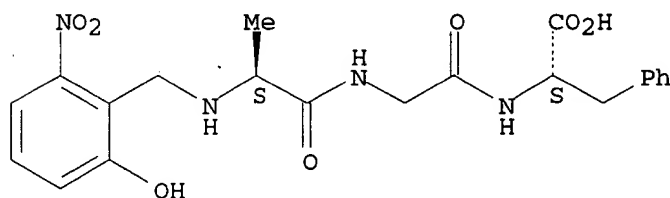
Absolute stereochemistry.



RN 263144-07-6 HCAPLUS

CN L-Phenylalanine, N-[(2-hydroxy-6-nitrophenyl)methyl]-L-alanylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



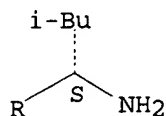
RN 263144-15-6 HCAPLUS

CN L-Valine, L-leucyl-N-[[2-nitro-6-[(L-threonylglycyl-L-tyrosyl-L-isoleucyl-

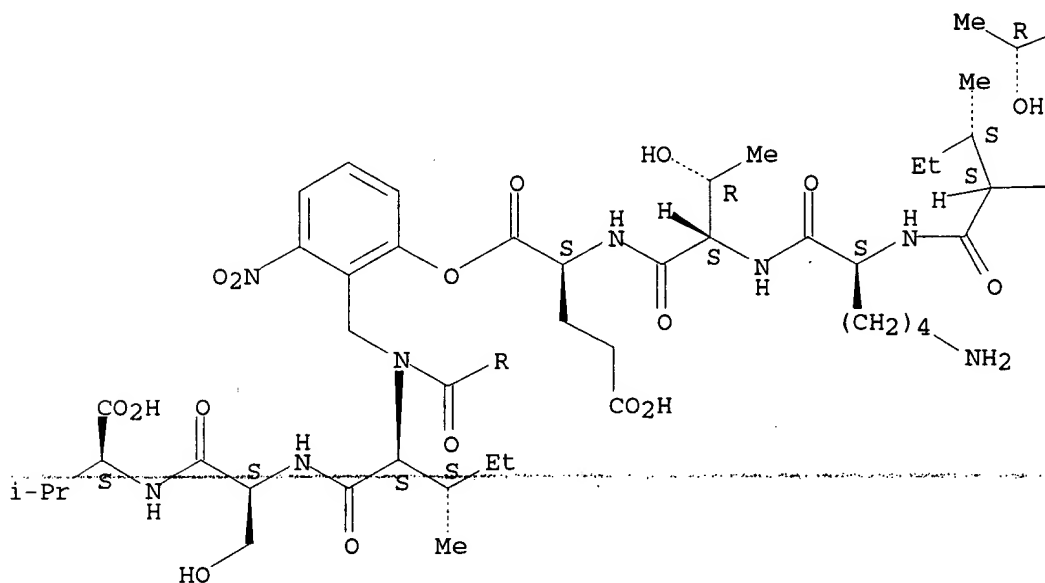
L-lysyl-L-threonyl-L- $\alpha$ -glutamyl]oxy]phenyl]methyl]-L-isoleucyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

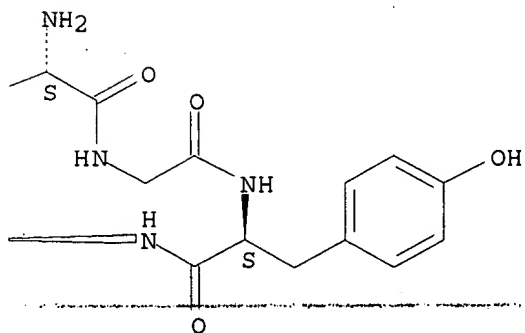
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PAGE 2-A



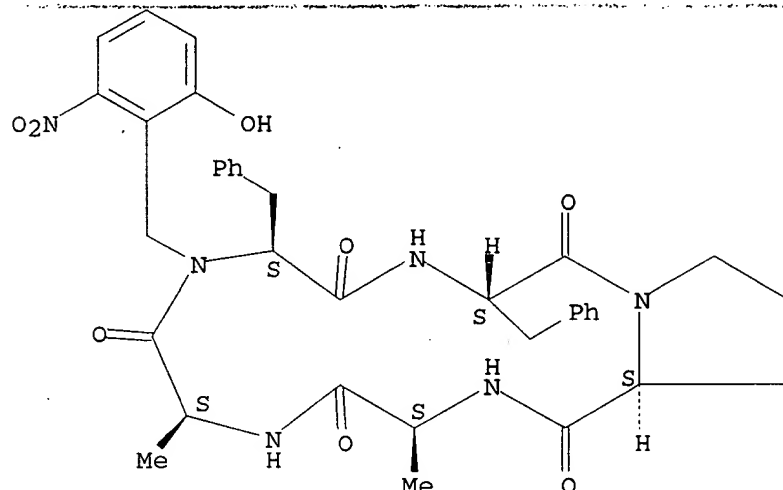
PAGE 2-B



RN 263144-18-9 HCAPLUS

CN Cyclo[L-alanyl-L-alanyl-N-[(2-hydroxy-6-nitrophenyl)methyl]-L-phenylalanyl-L-phenylalanyl-L-prolyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 252667-17-7P 252667-20-2P 252667-21-3P

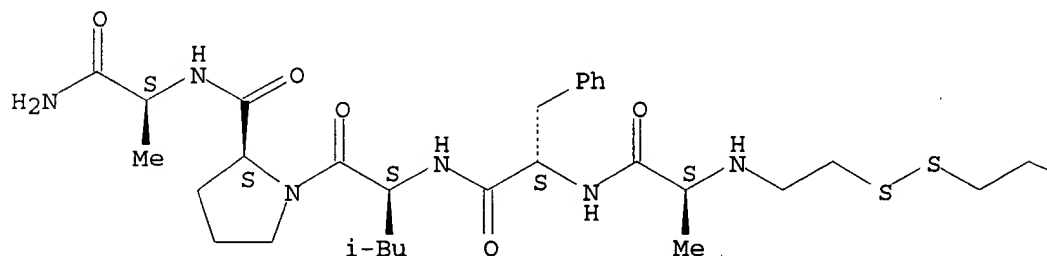
Rt: SPN (Synthetic preparation); PREP (Preparation)  
 (solid phase synthesis of small cyclic peptides via on-resin cyclization)

RN 252667-17-7 HCAPLUS

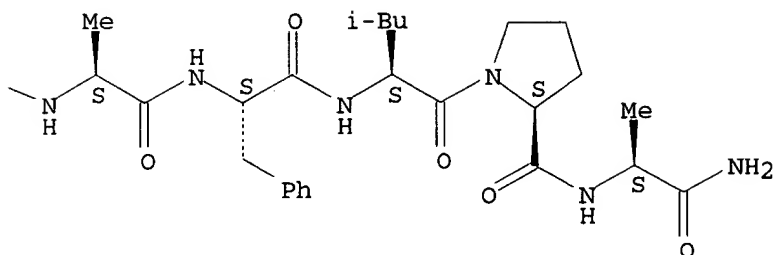
CN L-Alaninamide, 1,1'-(dithiodi-2,1-ethanediyl)bis[L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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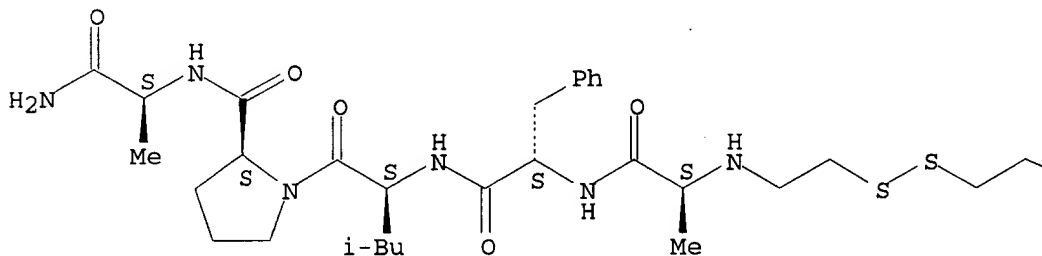


RN 252667-20-2 HCAPLUS

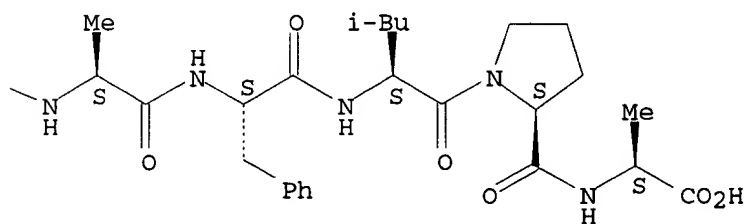
CN L-Alanine, N-(2-mercaptoethyl)-L-alanyl-L-phenylalanyl-L-leucyl-L-prolyl-,  
(1-1')-disulfide with N-(2-mercaptoethyl)-L-alanyl-L-phenylalanyl-L-  
leucyl-L-prolyl-L-alaninamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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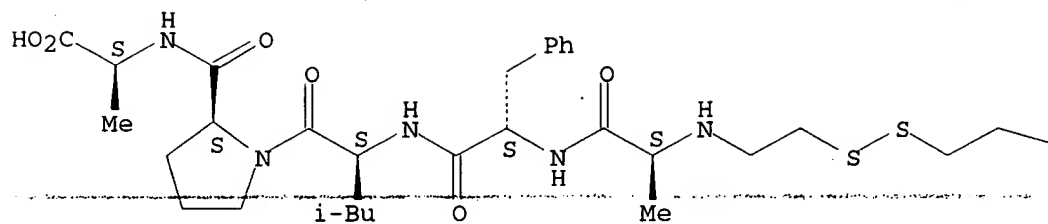


RN 252667-21-3 HCAPLUS

CN L-Alanine, 1,1'-(dithiodi-2,1-ethanediyl)bis[L-alanyl-L-phenylalanyl-L-  
leucyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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